10/801,910

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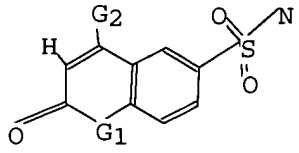

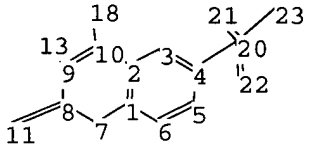

chain nodes :
11 13 14 15 18 20 21 22 23
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
4-20 8-11 9-13 10-18 14-15 20-21 20-22 20-23
ring bonds :
1-2 1-6 1-7 2-3 2-10 3-4 4-5 5-6 7-8 8-9 9-10
exact/norm bonds :
1-7 2-10 4-20 7-8 8-9 8-11 9-10 9-13 10-18 14-15 20-21 20-22 20-23
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:O,N

G2:H,Ak,[*1]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 13:CLASS 14:CLASS 15:CLASS 18:CLASS 20:CLASS 21:CLASS 22:CLASS
23:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS

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L1 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
L3 642 SEA SSS FUL L1

=> file ca

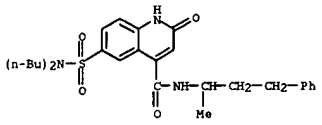
=> s l3
L4 58 L3

=> d ibib abs fhitstr 1-58

L4 ANSWER 1 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:219129 CA
TITLE: Parallel Liquid-Phase Synthesis of N-Substituted 6-Aminosulfonyl-2-oxo-1,2-dihydroquinoline-4-carboxamide and 6-Aminosulfonylquinoline-4-carboxamide Derivatives
AUTHOR(S): Ivachtchenko, Alexandre V.; Kobak, Vladimir V.; Ilyn, Alexey P.; Khvat, Alexander V.; Kysil, Volodymir M.; Williams, Caroline T.; Kuzovkova, Julia A.; Kravchenko, Dmitry V.
CORPORATE SOURCE: Chemical Diversity Labs, Inc., San Diego, CA, 92121, USA
SOURCE: Journal of Combinatorial Chemistry (2005), 7(2), 227-235
CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Two efficient strategies for solution-phase parallel synthesis of libraries of quinoline derivs. are described. The first synthetic pathway features the Pfitzinger reaction of isatin with di-Et malonate and sulfochlorination of the resulting 2-oxo-1,2-dihydroquinoline-4-carboxylate followed by generation of sulfonamide library. The second strategy employs the unusual behavior of 5-sulfamoylisatins in Pfitzinger reactions, which results in formation of 6-sulfamoyl-4-carboxyquinolines instead of the anticipated 2-oxo-1,2-dihydroquinoline structures. The obtained carboxylates appeared to be convenient synthetic intermediates for the generation of the corresponding carboxamide libraries. Using these reagents, the parallel solution-phase synthesis of more than 500 substituted quinoline and 2-oxo-1,2-dihydroquinoline derivs. has been accomplished on the 50-100-mg scale. Simple manual techniques for parallel reactions using special CombiSyn synthesizers were coupled with easy purification procedures to give high-purity final products. The scope and limitations of the developed approaches are discussed.

IT **697254-13-0P**
RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)
(parallel liquid-phase synthesis of libraries of N-substituted 6-aminosulfonyl-2-oxo-1,2-dihydroquinoline-4-carboxamide and 6-aminosulfonylquinoline-4-carboxamide derivs. involving both Pfitzinger and amidation reactions)
RN 697254-13-0 CA
CN 4-Quinolinecarboxamide, 6-[(dibutylamino)sulfonyl]-1,2-dihydro-N-(1-methyl-3-phenylpropyl)-2-oxo- (9CI) (CA INDEX NAME)



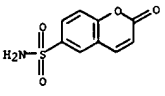
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 1 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:331980 CA
TITLE: Further studies on the preparation of coumarin-6-sulfonylureas
AUTHOR(S): Han, Ying; Tu, Shuzi
CORPORATE SOURCE: Department of Medicinal Chemistry, China Pharmaceutical University, Nanjing, 210009, Peop. Rep. China
SOURCE: Zhongguo Yaoke Daxue Xuebao (2002), 33(5), 363-366
CODEN: ZHYXE9; ISSN: 1000-5048
PUBLISHER: Zhongguo Yaoke Daxue
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 141:331980

AB Eighteen title compds were prepared from reaction of anilines with aryl isocyanates. An improved process for the preparation of aryl isocyanates was presented. For example, reaction of aniline with triphosgene in CHCl3 gave 85% PhNCO, reaction of which with coumarin-6-sulfonamide in acetone in the presence of K2CO3 gave 53% N-(phenylaminocarbonyl)coumarin-6-sulfonamide.

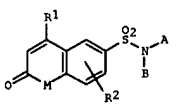
IT **90322-59-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of coumarin-6-sulfonylureas)
RN 90322-59-1 CA
CN 2H-1-Benzopyran-6-sulfonamide, 2-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:295875 CA
TITLE: Preparation of quinoline-6-sulfonamides and chromene-6-sulfonamides as androgen receptor antagonists
INVENTOR(S): Du, Daniel Yunlong; Procter, Martin James; Fyfe, Matthew Colin Thor; Shah, Vilasben Kanji; Williams, Geoffrey Martyn; Schofield, Karen Lesley
PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004083204	A1	20040930	WO 2004-IB856	20040317
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005004367	A1	20050106	US 2004-801910	20040316
PRIORITY APPLN. INFO.:			US 2003-456316P	P 20030320
OTHER SOURCE(S):	MARPAT 141:295875			

GI

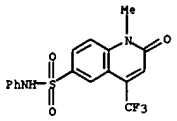


I

AB The title compds. [I; M = NZ, O; Z = H, alkyl; R1 = H, alkyl, haloalkyl, alkoxy, haloalkoxy; R2 = absent or halo, CN, OH, alkyl, etc.; A, B = H, alkyl, haloalkyl, alkenyl, Ph, etc.], useful as androgen antagonists, were prepared Thus, amidation of 1-methyl-2-oxo-4-trifluoromethyl-1,2-dihydroquinoline-6-sulfonyl chloride (preparation given) with aniline afforded 50% I [M = N(Me); R1 = CF3; R2 is absent; A = Ph; B = H]. The compds. I were tested in AR antagonist cell assay (IC50 values were given for about 150 compds. I).

IT **764702-11-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline-6-sulfonamides and chromene-6-sulfonamides as androgen receptor antagonists)

L4 ANSWER 3 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)
RN 764702-11-6 CA
CN 6-Quinolinesulfonamide, 1,2-dihydro-1-methyl-2-oxo-N-phenyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:260561 CA
TITLE: A preparation of focused library of quinolinecarboxylic acid derivatives, useful as caspase enzyme inhibitors
INVENTOR(S): Ivashchenko, Alexander Vasilievich; Kobak, Vladimir Vasilievich; Kysil, Volodymyr Mikhailovich; Kuzovkova, Yulia Aleksandrovna; Ilyin, Alexey Petrovich; Kravchenko, Dmitri Vladimirovich; Tkachenko, Sergey Yevgenievich; Khvat, Alexander Viktorovich; Okun, Ilya Matusovich
PATENT ASSIGNEE(S): Chemical Diversity Research Institute, Ltd., Russia
SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

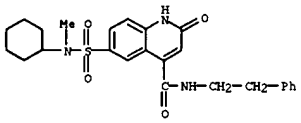
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004078731	A1	20040916	WO 2004-RU81	20040303
W: AE, AE, AG, AL, AL, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
RU 2229475	C1	20040527	RU 2003-106182	20030306
PRIORITY APPLN. INFO.:			RU 2003-106182 A	20030306
			RU 2003-124470 A	20030808
			RU 2003-125937 A	20030826

OTHER SOURCE(S): MARPAT 141:260561
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a preparation of focused library of quinolinecarboxylic acid derivs. of formulas I, II, and III [wherein: R1 is H, halogen, CF3, CN, NO2, or OH, etc.; R2 is halogen, (un)substituted alkyl, NH2, or OH; R3 is H, halogen, alk(en)yl, (un)substituted NH2 or OH; R4 is H, CO2H, or C(O)NH2; R5 is (un)substituted hydroxy- or mercapto-group, NH2, or heterocycle, etc.; R6 is H or other inert substituent; R7 is H, CN, CF3, NO2, NH2, alkylsulfonyl, or hydroxysulfonyl, etc.; W is O, NH, or N-alkyl, etc.], useful as caspase enzyme inhibitors (no biol. data). For instance, quinolinecarboxylate derivative IV was prepared via esterification of quinolinecarboxylic acid derivative

L4 ANSWER 4 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)
V by 2-FC6H4CH2Br with a yield of 74% (example 5).
IT **687590-34-7P**
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of focused library of quinolinecarboxylic acid derivs. useful as caspase enzyme inhibitors)
RN 687590-34-7 CA
CN 4-Quinolinecarboxamide, 6-[(cyclohexylmethylamino)sulfonyl]-1,2-dihydro-2-oxo-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

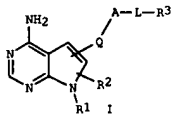


REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:106487 CA
TITLE: Preparation of pyrrolopyrimidine derivatives as antiproliferative agents
INVENTOR(S): Arcari, Joel Thomas; Chen, Jinshan; Lagreca, Susan; Marx, Matthew Arnold; Wessel, Matthew David
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

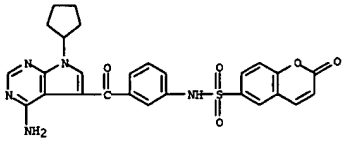
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056830	A1	20040708	WO 2003-IB5841	20031208
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005037999	A1	20050217	US 2003-732509	20031210
NL 1025068	A1	20040622	NL 2003-1025068	20031218
NL 1025068	C2	20041116		
PRIORITY APPLN. INFO.:			US 2002-434568P P	20021219

OTHER SOURCE(S): MARPAT 141:106487
GI



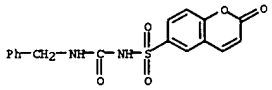
AB Pyrrolopyrimidines I (Q = CO, amino, S, sulfinyl, sulfonyl, etc.; A = bond, aryl, heteroarom. ring, alkyl, etc.; L = alkylene, O, S, sulfinyl, sulfonyl, amino, etc.; R1 = H, alkyl, cycloalkyl, substituted bicycloalkyl, etc.; R2 = H, halo, alkyl, cycloalkyl, heterocycloalkyl, amino, etc.; R3 = H, alkyl, cycloalkyl, heterocalkyl, etc.) and their pharmaceutically acceptable salts, useful for treatment of hyperproliferative disorders, are prepared Thus, reaction of 2,6-difluorophenyl isocyanate with (4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidin-5-yl)-(3-aminophenyl)-methanone in pyridine at 90° for 3 h gave 28% 1-[3-(4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)phenyl]-3-(2,6-difluorophenyl)-urea.
IT **717896-05-4P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolopyrimidines as antiproliferative agents)

L4 ANSWER 5 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)
RN 717896-05-4 CA
CN 2H-1-Benzopyran-6-sulfonamide, N-[3-[(4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidin-5-yl)carbonyl]phenyl]-2-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:99034 CA
TITLE: The interaction of human serum albumin with a novel antidiabetic agent-SU-118
AUTHOR(S): Zhong, Wenying; Wang, Yuchun; Yu, Jun-Sheng; Liang, Yingqiu; Ni, Kunyi; Tu, Shuzi
CORPORATE SOURCE: State Key Laboratory of Coordination Chemistry, Nanjing University, Nanjing, 210093, Peop. Rep. China
SOURCE: Journal of Pharmaceutical Sciences (2004), 93(4), 1039-1046
CODEN: JPMSAE; ISSN: 0022-3549
PUBLISHER: Wiley-Liss, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB SU-118 is a newly synthesized antidiabetic agent and shows the best hypoglycemic effect among a series of analogs. Its binding properties and binding sites located on human serum albumin (HSA) have been studied using UV absorption and fluorescence spectroscopy. The results of spectroscopic study and the thermodn. parameters obtained suggest that SU-118 binds to the hydrophobic cavity of human serum albumin and the hydrophobic interaction is the predominant intermol. force stabilizing the complex. Fluorescent probe displacement studies show that SU-118 can displace competitively both dansylamide and dansylsarcosine from HSA. It is suggested that SU-118 can bind to both site I and site II, but the primary interaction may take place at site I. A binding constant of 1.4 + 104 M-1 and a binding site of 2.0 are obtained from absorbance titration data. The value of binding constant is of the same order of magnitude as that from fluorescence titration This study provides a mol. basis for elucidating the mechanism of drug acting and predicting unfavorable drug interaction.
IT **718629-43-7**
RL: ADV (Adverse effect, including toxicity); BMA (Drug mechanism of action); BIOL (Biological study)
(interaction of human serum albumin with a novel antidiabetic agent-SU-118)
RN 718629-43-7 CA
CN 2H-1-Benzopyran-6-sulfonamide, 2-oxo-N-[[(phenylmethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

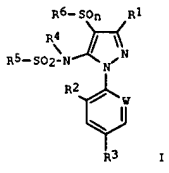


REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:35030 CA
TITLE: Preparation of pesticidal sulfonylaminopyrazole derivatives
INVENTOR(S): Doeller, Uwe; Chou, David Teh-Wei; Steinsberger, Merwyn; Maier, Michael; Kuhlmann, Anke; Seeger, Karl; Hawkins, David William; Gough, Stanley Thomas Derek; Manning, David Treadway
PATENT ASSIGNEE(S): Bayer Cropscience S.A., Fr.
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004049797	A2	20040617	WO 2003-EP12618	20031112
WO 2004049797	A3	20040902		
W: AE, AG, AL, AM, AU, AZ, BA, BB, BR, BY, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, EG, GD, GE, HR, ID, IL, IN, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, RU, SC, SG, SY, TJ, TM, TN, TT, UA, US, UZ, VC, VN, YU, ZA				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1426362	A1	20040609	EP 2002-27034	20021203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

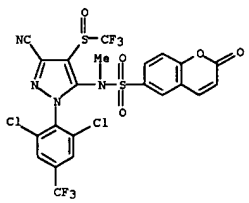
PRIORITY APPLN. INFO.: EP 2002-27034 A 20021203
OTHER SOURCE(S): MARPAT 141:35030
GI



I

AB The sulfonylaminopyrazole derivs. I [R1 = (halo)alkyl, CN, C(S)NH2 or halo; W = N, C-CH3 or C-halo; R2 = H, Me or halo; R3 = halo, (halo)alkyl, etc.; R4 = H, (cyclo)alkyl, (halo)alkenyl, (halo)alkynyl, etc.; R5= cycloalkyl, (un)substituted alkyl, (halo)alkenyl, etc.; R6 = (halo)alkyl, (halo)alkenyl, etc.; n = 0, 1 or 2] are prepared as insecticides, acaricides and nematocides.
IT **700366-63-8P**
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as pesticide)
RN 700366-63-8 CA

L4 ANSWER 7 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)
CN 2H-1-Benzopyran-6-sulfonamide, N-[3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-[(trifluoromethyl)sulfinyl]-1H-pyrazol-5-yl]-N-methyl-2-oxo- (9CI) (CA INDEX NAME)



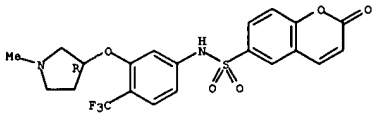
L4 ANSWER 8 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:12255 CA
TITLE: Sulfonamides and pharmaceutical compositions containing them and uses for treating conditions associated with urotensin II imbalance
INVENTOR(S): Girard, Gerald R.; McAtee, John Jeffrey; Neeb, Michael J.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004043369	A2	20040527	WO 2003-US35364	20031106
WO 2004043369	A3	20041021		

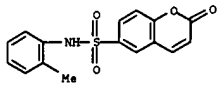
W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, EG, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA, US, UZ, VN, YU, ZA
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-424098P P 20021106
US 2002-424274P P 20021106
OTHER SOURCE(S): MARPAT 141:12255
AB The present invention relates to sulfonamides, pharmaceutical compns. containing them, and their use as antagonists of urotensin II for treating conditions associated with urotensin II imbalance.
IT **693786-30-0P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(sulfonamides and pharmaceutical compns. containing them and uses for treating conditions associated with urotensin II imbalance)
RN 693786-30-0 CA
CN 2H-1-Benzopyran-6-sulfonamide, N-(3-[[(3R)-1-methyl-3-pyrrolidinyl]oxy]-4-(trifluoromethyl)phenyl]-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 9 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:391166 CA
TITLE: Product class 4: benzopyranones and benzopyranthiones
AUTHOR(S): Williams, A. C.; Camp, N.
CORPORATE SOURCE: Germany
SOURCE: Science of Synthesis (2003), 14, 347-638
CODEN: SSCYJ9
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. Methods for preparing 2H-1-benzopyran-2-ones, 4H-1-benzopyran-4-ones, 1H-2-benzopyran-1-ones, 6H-dibenzo[b,d]pyran-6-ones, 9H-xanthenones and their corresponding thione analogs as well as 3H-2-benzopyran-3-ones are surveyed. Synthetic methods include ring closure, ring transformation, aromatization and substituent modification reactions.
IT **84015-73-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(review of preparation of benzopyranones and benzopyranthiones via ring closure, ring transformations, aromatization and substituent modifications)
RN 84015-73-6 CA
CN 2H-1-Benzopyran-6-sulfonamide, N-(2-methylphenyl)-2-oxo- (9CI) (CA INDEX NAME)

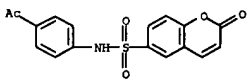


REFERENCE COUNT: 1083 THERE ARE 1083 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:128245 CA
TITLE: Reactions with coumarin. VIII
AUTHOR(S): Zeid, I. F.; Ismail, I. Imam; Abd El-Aleem, A. H.; Ebead, A. M.
CORPORATE SOURCE: Menoufia University and National Research Centre, Cairo, Egypt
SOURCE: Afinidad (2003), 60(505), 295-299
CODEN: AFINAE; ISSN: 0001-9704
PUBLISHER: Asociacion de Quimicos e Ingenieros del Instituto Quimico de Sarria
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:128245
GI

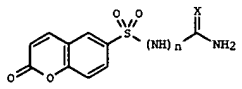
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A series of thiadiazole and selenadiazole derivs. was synthesized via oxidative cyclization of some semicarbazone derivs. of the types I. The later compds. were formed via reaction of coumarin-6-sulfonyl chloride 1 with m-, p-aminoacetophenone and/or o- and p-hydroxyacetophenone to give coumarin-6-sulfonamides II or the esters. Condensation of II and the esters with semicarbazide hydrochloride afforded the semicarbazones of type I. Oxidative cyclization of I with thionyl chloride led to the formation of the thiadiazole derivs. III. On the other hand, oxidative cyclization of I with selenium dioxide led to the formation of the corresponding selenadiazole derivs.
IT **173975-91-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiadiazole and selenadiazole coumarin derivs. from coumarin-6-sulfonyl chloride via oxidative cyclization and condensation)
RN 173975-91-2 CA
CN 2H-1-Benzopyran-6-sulfonamide, N-(4-acetylphenyl)-2-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:111363 CA
TITLE: Reactions with coumarin. VII
AUTHOR(S): Zeid, I. F.; Ismail, I. Imam; Abd El-Aleem, A. H.; Ebead, A. M.
CORPORATE SOURCE: National Research Centre, Menoufia University, Cairo, Egypt
SOURCE: Afinidad (2003), 60(504), 215-219
CODEN: AFINAE; ISSN: 0001-9704
PUBLISHER: Asociacion de Quimicos del Instituto Quimico de Sarria
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:111363
GI



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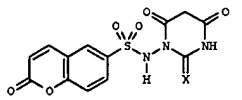
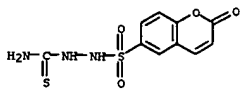


II

AB Coumarin-6-sulfonamides I (n = 1, X = NH; n = 2, X = O, S) were synthesized by reactions of coumarin-6-sulfonyl chloride with semicarbazide, thiosemicarbazide and guanidine, resp.. The subsequent treatment of I with di-Et malonate, acetylacetone, and Et acetoacetate gave the corresponding pyrimidine derivs., e.g. II (X = O, S) from the reaction with di-Et malonate.
IT **165073-93-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (oxobenzopyranyl)sulfonylamino-substituted pyrimidines via
cyclocondensation of coumarin sulfonamides with active methylene compds.)
RN 165073-93-8 CA
CN 2H-1-Benzopyran-6-sulfonic acid, 2-oxo-, 2-(aminothioxomethyl)hydrazide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS

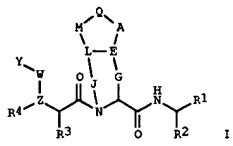
L4 ANSWER 11 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 58 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 139:381756 CA
TITLE: Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus
INVENTOR(S): Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin; Bennett, Frank; Mccormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-Yau; Liu, Yi-tsung; Zhu, Zhaoning; Njoroge, F. George; Arasappan, Ashok; Parekh, Tejal; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.; Wu, Wanli; Hendrata, Siska; Huang, Yuhua
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 629 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003216325	A1	20031120	US 2001-908955	20010719
US 2004254117	A9	20041216		
ZA 2002010312	A	20040329	ZA 2002-10312	20021219
PRIORITY APPLN. INFO.:			US 2000-220108P P	20000721
OTHER SOURCE(S):	MARPAT 139:381756			

GI



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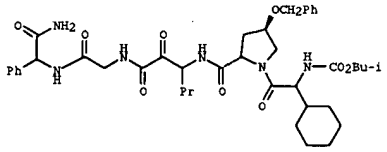


II

L4 ANSWER 12 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)

AB The invention discloses novel peptides I [Y is alkyl, alkylaryl, heteroalkyl, heteroaryl, aryl- or alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkylarylamino, arylamino, heteroarylamino, cycloalkylamino, or heterocycloalkylamino; R1 is acyl; Z is O, N, CH or CR; R, R2-R4 are H, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halo, (cycloalkyl)alkyl, or (heterocycloalkyl)alkyl; W, Q, G, J, L, M independently may be present or absent; W is CO, CS, C(:N-CN), or SO2; Q is CH, N, P, alkylidene, O, NR, S, or SO2; A is O, CH, alkylidene, NR, S, SO2, or a bond; E is CH, N, alkylidene, or a double bond; G is alkylidene; J is alkylidene, SO2, NH, NR, or O; L is CH, CR, O, S, or NR; M is O, NR, S, SO2, or alkylidene (with provisos)] which have HCV protease inhibitory activity as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease. Thus, peptide II was prepared by the solid-phase method and showed Ki = 1-100 nM (category A) in the HCV continuous assay.

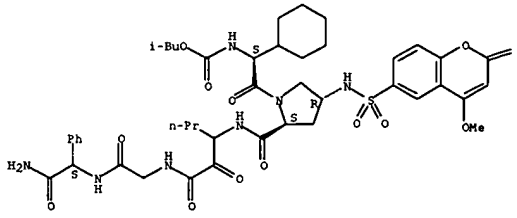
IT **394723-06-9P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 394723-06-9 CA

CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-[[(4-methoxy-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]amino]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

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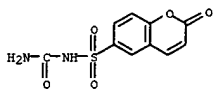
L4 ANSWER 13 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:374172 CA
TITLE: Study on the interaction between SU-118 and bovine serum albumin
AUTHOR(S): Wang, Yu-Chun; Zhong, Wen-Ying; Yu, Jun-Sheng; Ni, Kun-Yi; Tu, Shu-Zi; Liang, Ying-Qiu
CORPORATE SOURCE: Department of Analytical Chemistry, China Pharmaceutical University, Nanjing, 210009, Peop. Rep. China
SOURCE: Nanjing Daxue Xuebao, Ziran Kexue (2003), 39(2), 288-293
CODEN: NCHPAZ; ISSN: 0469-5097
PUBLISHER: Nanjing Daxue Xuebao Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

AB The interaction between a new hypoglycemic agent SU-118 and BSA were studied using absorption and fluorescence spectrophotometry. Hypochromicity and an isosbestic point at 330 nm were observed in the absorption spectra of BSA in the presence of SU-118. It was found that the fluorescence intensity of BSA was efficiently quenched when SU-118 was added to the BSA solution These results showed that SU-118 could interact with BSA to form a complex in solution The fluorescence quenching data could be fitted to the Stern-Volmer equation and gave a Stern-Volmer quenching constant of 8.63+104 L/moL (20°). The dependence of the Stern-Volmer consts. on the temperature indicated that the mechanism of the quenching process was static. The thermodn. parameters were estimated according to such temperature dependence. The interaction was exothermic with a Van't Hoff enthalpy of -30.09 kJ/moL. The neg. values of the enthalpy and entropy changes indicated that van der Waals force and hydrogen bonding were the predominant intermol. forces stabilizing the SU-118-BSA complex. In addition, binding consts. were obtained by two methods: 1.32+104 L/moL for absorption titration and 8.63+104 L/moL for fluorescence titration They were comparable regarding the difference between the two methods. Finally, the conformational change of BSA due to the addition of SU-118 was discussed by synchronous fluorometry.

IT **165073-91-6D**, derivs.
RL: PKT (Pharmacokinetics); BIOL (Biological study)
(study on the interaction between SU-118 and bovine serum albumin)
RN 165073-91-6 CA
CN 2H-1-Benzopyran-6-sulfonamide, N-(aminocarbonyl)-2-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:149928 CA
TITLE: Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus
INVENTOR(S): Saksena, Anil K.; Girijavallabhn, Viyyoor M.; Lovey, Raymond G.; Jao, Edwin; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-yau; Liu, Yi-tsung; Zhu, Zhaoning; Njoroge, George F.; Arasappan, Ashok; Parekh, Tejal; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.; Wu, Wanli; Hendrata, Siska; Huang, Yuhua; Wong, Jesse K.; Nair, Latha G.
PATENT ASSIGNEE(S): Schering Corporation, USA; Corvas International, Inc.; Dendreon Corp.
SOURCE: PCT Int. Appl., 633 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062265	A2	20030731	WO 2003-US1430	20030116
WO 2003062265	A3	20040916		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2473032	AA	20030731	CA 2003-2473032	20030116
EP 1481000	A2	20041201	EP 2003-731956	20030116
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BR 2003006931	A	20050419	BR 2003-6931	20030116
PRIORITY APPLN. INFO.:			US 2002-52386 A	20020118
			WO 2003-US1430 W	20030116

OTHER SOURCE(S): MARPAT 139:149928
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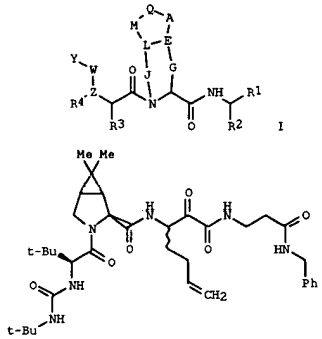
L4 ANSWER 14 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)



I

II

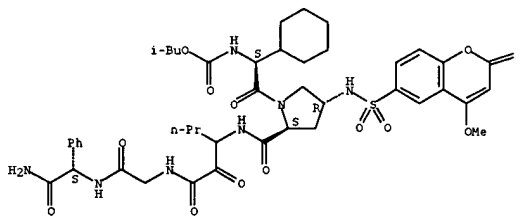
AB The invention discloses novel peptides I [Y is alkyl, alkylaryl, heteroalkyl, heteroaryl, aryl- or alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkylarylamino, arylamino, heteroarylamino, cycloalkylamino, or heterocycloalkylamino; R1 is acyl; Z is selected from O, N, CH or CR; R, R2-R4 are H, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halo, (cycloalkyl)alkyl, or (heterocycloalkyl)alkyl; W, Q, G, J, L, M independently may be present or absent; W is CO, CS, C(:N-CN), or SO2; Q is CH, N, P, alkylidene, O, NR, S, or SO2; A is O, CH, alkylidene, NR, S, SO2, or a bond; E is CH, N, alkylidene, or a double bond; G is alkylidene; J is alkylidene, SO2, NH, NR, or O; L is CH, CR, O, S, or NR; M is O, NR, S, SO2, or alkylidene (with provisos)] which have HCV protease inhibitory activity as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease. Thus, peptide II was prepared and showed Ki = 1-100 nM (category A) in the HCV continuous assay.

IT **394723-06-9P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus)
RN 394723-06-9 CA
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-[[(4-methoxy-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]amino]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B

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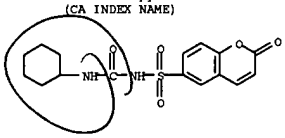
L4 ANSWER 15 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:78889 CA
TITLE: Synthesis and Bioactivity of Coumarin-6-sulfonylureas
AUTHOR(S): Han, Ying; Tu, Shuzi; Zhou, Weifen; Wang, Qiujuan
CORPORATE SOURCE: Department of Medicinal Chemistry, China Pharmaceutical University, Nanjing, 210009, Peop. Rep. China
SOURCE: Zhongguo Yaoke Daxue Xuebao (2002), 33(2), 93-97
CODEN: ZHYXE9; ISSN: 1000-5048
PUBLISHER: Zhongguo Yaoke Daxue
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 139:78889

AB Twenty-one coumarin-6-sulfonylureas (N-{4-R1-7-R2-benzopyran-2(2H)-one-6-sulfonyl}-N'-R3-urea; R1 and/or R2 = H or methyl; R3 = cyclohexyl, allyl, Pr, heptyl, iso-Pr, Bu, or isobutyl) were synthesized to search for new antidiabetic drugs. Sulfonylurea functional groups were introduced into the structure of coumarin, and the hypoglycemic activity of the target compds. was measured. Their structures were identified by IR, 1H NMR, and MS spectra. The pharmacol. study showed that compds. SU-1 (R1 = R2 = H, R3 = cyclohexyl), SU-8 (R1 = H, R2 = Me, R3 = cyclohexyl), SU-11 (R1 = H, R2 = Me, R3 = butyl), SU-12 (R1 = H, R2 = Me, R3 = heptyl), and SU-13 (R1 = H, R2 = Me, R3 = isopropyl) exhibited evident hypoglycemic activities (P <0.01) at the dose of 50 mg kg-1.

IT **553682-70-5P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and bioactivity of coumarin-6-sulfonylureas)

RN 553682-70-5 CA
CN 2H-1-Benzopyran-6-sulfonamide, N-[(cyclohexylamino)carbonyl]-2-oxo- (9CI) (CA INDEX NAME)



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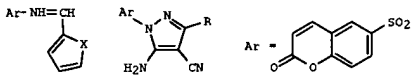
L4 ANSWER 16 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 137:294847 CA
TITLE: Reactions with coumarin. VI
AUTHOR(S): Ismail, I. Imam; El-Bary, H. Abd; El-Aleem, A. H. Abd; Hossni, A.
CORPORATE SOURCE: National Research Centre, Cairo, Egypt
SOURCE: Afinidad (2002), 59(498), 151-154
CODEN: AFINAE; ISSN: 0001-9704
PUBLISHER: Asociacion de Quimicos del Instituto Quimico de Sarria
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:294847
GI

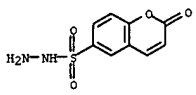


I II

AB The present investigation is designed to study the reaction of some active methylene compds. with coumarin-6-sulfonyl hydrazones, I (X = O, S). The following active methylene compds. were used: malononitrile, Et cyanoacetate, di-Et malonate and 2,4-pentanedione. It was found that, the active methylene compound is added to the double bond of the hydrazone to give an adduct, which cyclized directly to pyrazole or pyrazoline-5-one derivs., e.g. II.

IT **112097-36-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and condensation reaction with thienaldehyde or furaldehyde)

RN 112097-36-6 CA
CN 2H-1-Benzopyran-6-sulfonic acid, 2-oxo-, hydrazide (9CI) (CA INDEX NAME)



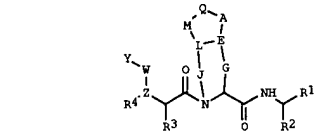
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 136:167698 CA
TITLE: Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus
INVENTOR(S): Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-Yau; Liu, Yi-Tsung; Zhu, Zhaoning; Njoroge, F. George; Arasappan, Ashok; Parekh, Tejal N.; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Wu, Wanli; Hendrata, Siska; Huang, Yuhua; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.
PATENT ASSIGNEE(S): Schering Corporation, USA; Corvas International, Inc.
SOURCE: PCT Int. Appl., 536 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

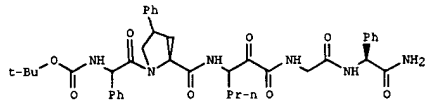
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008244	A2	20020131	WO 2001-US22678	20010719
WO 2002008244	A3	20030619		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2410662	AA	20020131	CA 2001-2410662	20010719
AU 2001076988	A5	20020205	AU 2001-76988	20010719
BR 2001012540	A	20030624	BR 2001-12540	20010719
EP 1385870	A2	20040204	EP 2001-954764	20010719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004504404	T2	20040212	JP 2002-514149	20010719
ZA 2002010312	A	20040329	ZA 2002-10312	20021219
NO 2003000272	A	20030321	NO 2003-272	20030120
PRIORITY APPLN. INFO.:			US 2000-220108P P	20000721
			WO 2001-US22678 W	20010719

OTHER SOURCE(S): MARPAT 136:167698
GI

L4 ANSWER 17 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)



I



II

AB Peptides I were prepared wherein Y is alkyl, alkyl-aryl, heteroaryl, heteroalkyl, heterocaryl, aryl-heteroaryl, alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy,, alkylamino, arylamino, alkylarylamino, arylamino, heteroarylamino, cycloalkylamino and heterocycloalkylamino; R1 is acyl, borate; Z is selected from O, N, CH or CR; W, Q, G, J, L, M independently maybe present or absent; W is C=O, C=S, C(=N-CN), or SO; Q is CH, N, P, alkylidene, O, amine,S, or SO; A is O, CH, alkylidene, amine, S, SO or bond; E is CH, N, alkylidene, or double bond; G is alkylidene; J is alkylidene, SO, NH, NR, O; L is CH, alkylidene, O, S or NR; M is O, NR,S, SO, alkylidene; p is 0 to 6; and R-R4 are independently selected from the group consisting of H; alkyl; alkenyl; cycloalkyl; heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halogen; (cycloalkyl)alkyl and (heterocycloalkyl)alkyl, which have HCV protease inhibitory activity as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease. Thus peptide II was prepared and tested as antiviral agent and NS3-serine protease inhibitors of hepatitis C virus with Ki ranges in category A = 1-100 nM; category B = 101-1,000 nM; category C > 1000 nM. Also disclosed is the use of I for the manufacture of a medicament for treating HCV, AIDS, and related disorders.

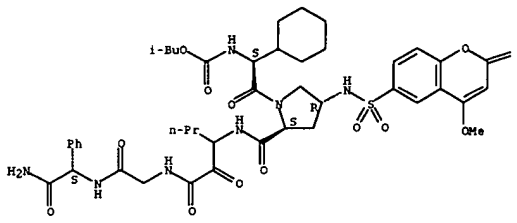
IT **394723-06-9P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 394723-06-9 CA
CN Glycinamide, (2S)-2-cyclohexyl-N-[(2-methylpropoxy)carbonyl]glycyl-(4R)-4-[[(4-methoxy-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]amino]-L-prolyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 17 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B

L4 ANSWER 18 OF 58 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 136:134774 CA
TITLE: Preparation of fused amidoalkyluracils as poly(ADP-ribose) synthetase inhibitors
INVENTOR(S): Haerter, Michael; Albrecht, Barbara; Gerisch, Michael; Handke, Gabriele; Huetter, Joachim; Jensen, Axel; Krahn, Thomas; Mittendorf, Joachim; Oehme, Felix; Schlemmer, Karl-Heinz; Steinhagen, Henning
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006247	A1	20020124	WO 2001-EP7670	20010705
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 10034801	A1	20020131	DE 2000-10034801	20000718
CA 2416036	AA	20020124	CA 2001-2416036	20010705
EP 1303497	A1	20030423	EP 2001-947443	20010705
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003022905	A1	20030130	US 2001-906296	20010716
US 6649618	B2	20031118		
PRIORITY APPLN. INFO.:			DE 2000-10034801 A	20000718
			WO 2001-EP7670 W	20010705
OTHER SOURCE(S):	MARPAT 136:134774			

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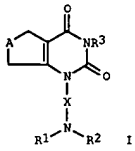


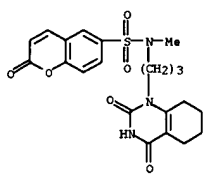
AB Title compds. [I; A = D, CH2D, DCH2, CH:CHCH2, CH2CH:CH, CH2CH2D, DCH2CH2, CH2DCH2; D = CH2, O, S; X = (substituted) alkylene, cycloalkylene; R1 = H, (halogenated) alkyl, cycloalkyl; R2 = SO2R4, SO2NR5R6, COR7, CONR8R9,

L4 ANSWER 18 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)

CO2R10; R4 = (substituted) alkyl, cycloalkyl, GE; E = (substituted) aryl, heterocyclyl, G is absent or (substituted) aryl, heteroaryl; R5, R6 = H, (substituted) cycloalkyl, alkyl, aryl, heteroaryl; or R5R6 = (substituted) heterocyclyl; R7 = (substituted) alkyl, cycloalkyl; GE (as above); R8, R9 = H, (substituted) alkyl, cycloalkyl; or R8R9 = (substituted) heteroaryl; R10 = (substituted) alkyl, cycloalkyl, aryl; or R1R2 = (substituted) mono- or bicyclic heterocyclyl; R3 = H, alkoxycarbonyl], were prepd. Thus, a mixt. of N-(3-aminopropyl)-N-benzyl-N-methylamine and tetrahydro-4H-thiopyran-4-one in PhMe was refluxed with camphorsulfonic acid followed by addn. of ClCONCO at room temp. to give 67% 1-[3-benzyl(methyl)aminopropyl]-1,5,7,8-tetrahydro-2H-thiopyrano[4,3-d]pyrimidine-2,4(3H)-dione which was stirred with 2,2,2-trichloroethylchloroformate in MeCN for 30 min at room temp. to give 63% 2,2,2-trichloroethyl-3-(2,4-dioxo-3,4,7,8-tetrahydro-2H-thiopyrano[4,3-d]pyrimidin-1(5H)-yl)propyl(methyl)carbamate. Tested I showed 50% protection of endothelial cells with EC50 = 0.05-0.5 μM.

IT **390766-02-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused amidoalkyluracils as poly(ADP-ribose) synthetase inhibitors)

RN 390766-02-6 CA
CN 2H-1-Benzopyran-6-sulfonamide, N-(3-(3,4,5,6,7,8-hexahydro-2,4-dioxo-1(2H)-quinazolinyl)propyl]-N-methyl-2-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 58 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 136:20021 CA
TITLE: Piperidine derivatives useful in the modulation of CCR3 activity
INVENTOR(S): Sanganee, Hitesh; Springthorpe, Brian
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001092227	A1	20011206	WO 2001-SE1298	20010530
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1289956	A1	20030312	EP 2001-937121	20010530
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003535079	T2	20031125	JP 2002-500842	20010530
US 2003166652	A1	20030904	US 2002-296034	20021120
PRIORITY APPLN. INFO.:			GB 2000-13060 A	20000531
			WO 2001-SE1298 W	20010530
OTHER SOURCE(S):	MARPAT 136:20021			

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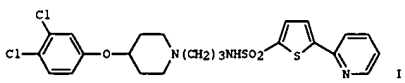
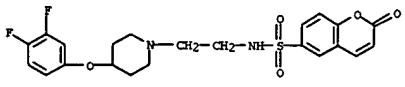


AB Piperidines such as I were prepared for modulation of CCR3 activity (no data). Thus, I was prepared starting from 4-(3,4-dichlorophenoxy)piperidine and tert-Bu (3-bromopropyl)carbamate.

IT **377740-48-2P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(piperidine derivs. useful in the modulation of CCR3 activity)

RN 377740-48-2 CA
CN 2H-1-Benzopyran-6-sulfonamide, N-[2-[4-(3,4-difluorophenoxy)-1-piperidinyl]ethyl]-2-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 58 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 135:33634 CA
TITLE: Fluorescence enhancement of coumarin-6-sulfonyl chloride amino acid derivatives in cyclodextrin media
AUTHOR(S): Al-Kindy, Salma M. Z.; Suliman, Fakhr Eldin O.; Al-Hamadi, Abdalla A.
CORPORATE SOURCE: Department of Chemistry, College of Science, Muscat, Oman
SOURCE: Analytical Sciences (2001), 17(4), 539-543
CODEN: ANSCEN; ISSN: 0910-6340
PUBLISHER: Japan Society for Analytical Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

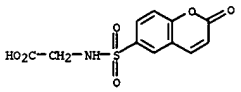
AB Coumarin-6-sulfonyl (6-CS) amino acid derivs. form inclusion complexes with α- and β-cyclodextrins (CD) in aqueous solution The stoichiometry of the inclusion complex and the equilibrium constant were investigated. Using a fluorescence technique and alanine-β-CD as a model, a 1:2 guest-host complex was established, and K = 4.7 + 105 mol-2 12 was obtained. Fluorescence enhancement was observed for all derivs.
studied, with glycine exhibiting a greater enhancement, and tyrosine showing the least. The stability of the inclusion complex was found to depend on the resp. sizes of the guest-host complex and their interaction.

IT **343578-60-9P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(fluorescence enhancement of coumarin-6-sulfonyl chloride amino acid derivs. in cyclodextrin media)

RN 343578-60-9 CA
CN Glycine, N-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-, compd. with α-cyclodextrin (9CI) (CA INDEX NAME)

CM 1

CRN 123090-34-6
CMF C11 H9 N O6 S

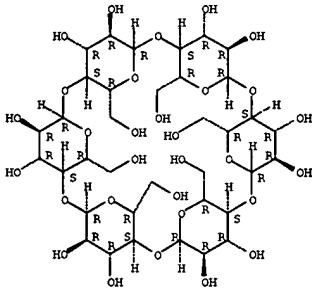


CM 2

CRN 10016-20-3
CMF C36 H60 O30

Absolute stereochemistry.

L4 ANSWER 20 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 58 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 134:280845 CA
TITLE: Preparation of acylsulfonamide derivatives as chymase inhibitors
INVENTOR(S): Aoyama, Yukio; Seki, Maki; Masuda, Hirokazu; Usui, Yoshihiro; Abe, Yuji; Shimada, Mayumi; Yamamoto, Mutsuya
PATENT ASSIGNEE(S): Mitsubishi Chemical Corporation, Japan
SOURCE: PCT Int. Appl., 259 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023349	A1	20010405	WO 2000-JP6695	20000928

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:	JP 1999-278374	A	19990930
	JP 1999-278375	A	19990930
	JP 1999-278377	A	19990930
	JP 1999-278378	A	19990930
	JP 1999-278379	A	19990930

OTHER SOURCE(S): MARPAT 134:280845
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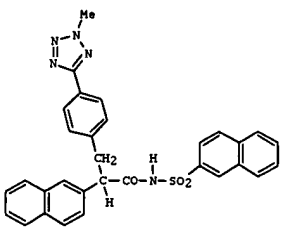
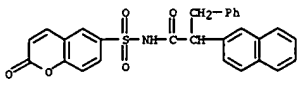


I

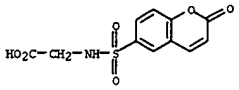
AB The title compds. R1CH[(CH2R2)n](NH)mCONHSO2R3 [R1 = (un)substituted heterocyclyl, etc.; n = 1-4; m = 0 or 1; R2 = (un)substituted heterocyclyl, etc.; when R2 is (un)substituted aryl, R3 is (un)substituted naphthyl, heterocyclyl; when R2 is (un)substituted heterocyclyl, R3 is (un)substituted Ph, naphthyl, heterocyclyl] are prepared The title compds. are useful as remedies for hypertension. The title compound I in vitro

L4 ANSWER 21 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)
showed IC50 of 0.66 μM against chymase.
IT **332364-23-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylsulfonamide derivs. as chymase inhibitors)
RN 332364-23-5 CA
CN 2-Naphthaleneacetamide, N-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-α-(phenylmethyl)- (9CI) (CA INDEX NAME)



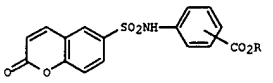
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 134:178501 CA
TITLE: Synthesis of N-(Coumarinsulfonyl)thiohydantoin and -hydantoin derivatives
AUTHOR(S): Mandour, A. H.; Kassem, E. M.
CORPORATE SOURCE: Dep. of Nat. Products and Microbes, Natl. Res. Cent., Cairo, Egypt
SOURCE: Afinidad (2000), 57(489), 344-348
CODEN: AFINAE; ISSN: 0001-9704
PUBLISHER: Asociacion de Quimicos del Instituto Quimico de Sarria
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:178501
AB Acylation of glycine with 6-coumarinylsulfonyl chloride or (6-nitro-3-coumarinyl)sulfonyl chloride gave N-[(coumarinyl)sulfonyl]glycine derivs. Treatment of the latter compds. with ammonium thiocyanate and acetic anhydride afforded N-[(coumarinyl)sulfonyl]-3-thiohydantoins. The key intermediates thus prepared were 1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-2-thioxo-4-imidazolidinone and 1-[(6-nitro-2-oxo-2H-1-benzopyran-3-yl)sulfonyl]-2-thioxo-4-imidazolidinone. Hydrolysis of these intermediates using aqueous chloroacetic acid gave N-[(coumarinyl)sulfonyl]hydantoins. Thus, the above (thioxo)imidazolidinones were transformed into the resp. diones, 1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-2,4-imidazolidinedione and 1-[(6-nitro-2-oxo-2H-1-benzopyran-3-yl)sulfonyl]-2,4-imidazolidinedione. Condensation of N-[(coumarinyl)sulfonyl]-3-thiohydantoins and N-[(coumarinyl)sulfonyl]-3-hydantoins with (arylidene)malononitrile in piperidine gave the corresponding pyrano[2,3-d]imidazolidines. Also, the condensation of the above intermediates with aromatic aldehyde led to the formation of 5(arylidene)thiohydantoins and 5-(arylidene)hydantoins. The condensation of the latter compds. with malononitrile was also carried out.
IT **123090-34-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of [(coumarinyl)sulfonyl]hydantoin and [(coumarinyl)sulfonyl]thiohydantoin derivs.)
RN 123090-34-6 CA
CN Glycine, N-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



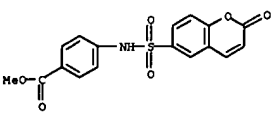
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 23 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 133:281735 CA
TITLE: Reactions with coumarin. V.
AUTHOR(S): Ismail, Imam; El-Aleem, A. H. Abd; El-Bary, H. Abd; Hossny, A. M.
CORPORATE SOURCE: National Research Centre, Menoufia University, Cairo, Egypt
SOURCE: Afinidad (2000), 57(487), 217-221
CODEN: AFINAE; ISSN: 0001-9704
PUBLISHER: Asociacion de Quimicos del Instituto Quimico de Sarria
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



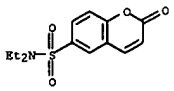
I

AB Several coumarin-6-sulfonamides (I; R = H, Me; substituent attached ortho, meta, or para) were prepared by reaction of coumarin-6-sulfonyl chloride with different aromatic amino compds. Imidazole derivs. were formed by reaction of I (R = Me) with ethylenediamine. Reaction of I (R = Me) with hydrazine hydrate afforded acid hydrazides. An oxadiazole was synthesized by reaction of a hydrazide with BzCl to give a diacylhydrazine, which cyclized to the oxadiazole derivative by heating with POCl3. A thiadiazole derivative was synthesized by reaction of a hydrazide with Ph isothiocyanate, followed by treatment with POCl3. Reaction of I (R = Me) with excess hydrazine hydrate (1:5 mol) proceeded with α-pyrone ring fission to give the corresponding cinnamoyl hydrazide derivs. Condensation of one of these with furfural gave the hydrazone, which cyclized to the oxadiazole on treatment with acetic anhydride.
IT **113789-63-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and conversion to hydrazides and imidazole derivs.)
RN 113789-63-2 CA
CN Benzoic acid, 4-[[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 128:321534 CA
TITLE: Reactions with coumarin: synthesis and reactions of coumarin sulfonamides
AUTHOR(S): Abdel-Bary, Hamed M.
CORPORATE SOURCE: Chem. Dep., Faculty Science, Menoufia Univ., Menoufia, Egypt
SOURCE: Afinidad (1998), 55(473), 67-71
CODEN: AFINAE; ISSN: 0001-9704
PUBLISHER: Asociacion de Quimicos del Instituto Quimico de Sarria
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Coumarin-6-sulfonyl chloride was animated with different secondary amines to give the sulfonamides. Treatment of these with hydrazine under controlled conditions effected ring-opening of the lactone ring to afford the corresponding o-hydroxycinnamoyl hydrazides which were converted to hydrazones by reation with various aldehydes. The hydrazones were cyclized using acetic anhydride to yield oxadiazolines. Reaction of the hydrazides with 4-toluoyl chloride afforded the corresponding N-toluoyl derivs. which cyclized with POCl3 to the corresponding 1,3,4-oxadiazole derivs. Thiosemicarbazide derivs. were obtained by treatment of the hydrazides with PhNCS. Cyclization of the thiosemicarbazides using POCl3 afforded the corresponding 1,3,4-thiadiazoles.
IT **118428-90-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactions of coumarinsulfonamides)
RN 118428-90-3 CA
CN 2H-1-Benzopyran-6-sulfonamide, N,N-diethyl-2-oxo- (9CI) (CA INDEX NAME)



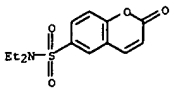
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 127:176015 CA
TITLE: Reactions with coumarin: synthesis and reactions of coumarinsulfonamides
AUTHOR(S): Abdel-Bary, Hamed M.
CORPORATE SOURCE: Chemistry Department, Faculty of Science, Menoufia University, Menoufia, Egypt
SOURCE: Mansoura Science Bulletin, A: Chemistry (1997), 24(1, Suppl. 1), 161-170
CODEN: MSBCF4; ISSN: 1110-4562
PUBLISHER: Mansoura University
DOCUMENT TYPE: Journal
LANGUAGE: English

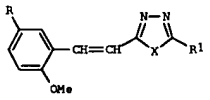
AB Coumarin-6-sulfonyl chloride was amidated with different secondary amines to give coumarin-6-sulfonamides. The latter with hydrazine under controlled conditions effected ring-opening of the lactone ring to afford the corresponding o-hydroxycinnamoyl hydrazides. Hydrazones were obtained by condensation of the latter with aldehydes. Some reactions of the hydrazones or hydrazides were examined

IT **118428-90-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactions of coumarinsulfonamides)

RN 118428-90-3 CA
CN 2H-1-Benzopyran-6-sulfonamide, N,N-diethyl-2-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 26 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 126:251111 CA
TITLE: Synthesis and biological evaluation of 1,2,4-triazoles, 1,3,4-oxadiazoles, and 1,3,4-thiadiazoles
AUTHOR(S): Mandour, A. H.; Ahmed, Kh. M.; Mohamed, T. K.; El-Bazza, Z. E.
CORPORATE SOURCE: National Res. Centre, Cairo, Egypt
SOURCE: Egyptian Journal of Pharmaceutical Sciences (1996), 37(1-6), 71-84
CODEN: EJPSBZ; ISSN: 0301-5068
PUBLISHER: National Information and Documentation Centre
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

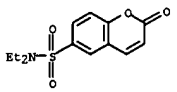


I

AB Alkaline hydrolysis, with di-Me sulfate and potassium hydroxide, of 6-substituted coumarins yielded 2-methoxycinnamic acids, which were converted to acid chlorides and then to (2-methoxycinnamoyl)thiosemicarbazides. Cyclization of the thiosemicarbazides, using sodium hydroxide, yielded triazoles I (R = NO2, Et2NSO2, piperidinosulfonyl, morpholinosulfonyl; R1 = SH; X = NH). Cyclodehydration of the thiosemicarbazides, using orthophosphoric acid or dicyclohexylcarbodiimide, led to thiadiazoles and oxadiazoles (I; same R; R1 = NH2; X = S, O). The antimicrobial and antiaflatoxigenic activities of I were evaluated.

IT **118428-90-3**, 2H-1-Benzopyran-6-sulfonamide, N,N-diethyl-2-oxo-
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis-methylation of)

RN 118428-90-3 CA
CN 2H-1-Benzopyran-6-sulfonamide, N,N-diethyl-2-oxo- (9CI) (CA INDEX NAME)

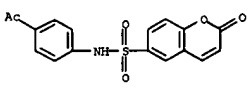


L4 ANSWER 27 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 124:284113 CA
TITLE: Synthesis, antimicrobial and antiaflatoxigenic activities of new coumarin derivatives
AUTHOR(S): Mandour, A. H.; Ahmed, Kh. M.; Nassar, M. I.; El-Bazza, Z. E.
CORPORATE SOURCE: National Research Centre, Cairo, Egypt
SOURCE: Egyptian Journal of Pharmaceutical Sciences (1995), 36(1-6), 71-85
CODEN: EJPSBZ; ISSN: 0301-5068
PUBLISHER: National Information and Documentation Centre
DOCUMENT TYPE: Journal
LANGUAGE: English

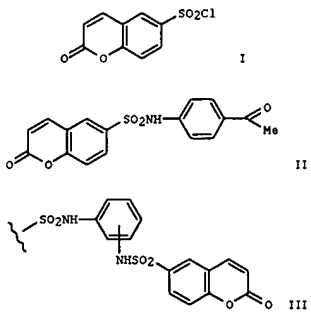
AB Coumarin-6-sulfonyl chloride reacted with m-or p- aminoacetophenone to give sulfonamide derivs., which in turn were condensed with semicarbazide hydrochloride to give semicarbazone derivs. Also some sulfonamides reacted with thiosemicarbazide to give thiosemicarbazone derivs. Oxidative cyclization of semicarbazones or thiosemicarbazones using thionylchloride led to the formation of 4-substituted-1,2,3-thiadiazoles using selenium dioxide led to the formation of 4-substituted-1,2,3-selenadiazoles . Also, 4-[6-nitrocoumarin-3- sulfonamido-N-(m or p-phenylene)] -1,2,3-thiadiazoles and -1,2,3-selenadiazoles. The antimicrobial and antiaflatoxigenic activities of thiadiazoles and selenadiazoles were also investigated.

IT **173975-91-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis, antimicrobial and antiaflatoxigenic activities of new coumarin derivs.)

RN 173975-91-2 CA
CN 2H-1-Benzopyran-6-sulfonamide, N-(4-acetylphenyl)-2-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 28 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 124:175761 CA
TITLE: Reaction with coumarin. IV
AUTHOR(S): Abdel Bary, Hamed M.; Abdel Aleem, A. H.; Ismail, I. Imam
CORPORATE SOURCE: Menoufia University, Cairo, Egypt
SOURCE: Afinidad (1995), 52(459), 344-6
CODEN: AFINAE; ISSN: 0001-9704
PUBLISHER: Asociacion de Quimicos del Instituto Quimico de Sarria
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

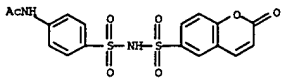


AB Coumarin-6-sulfonyl chloride (I) reacts with 4-aminobenzenesulfonamide or 2-amino-1,3,4-thiadiazole-5-sulfonamide at the sulfonamido amino group, leaving the amino group attached to the ring unreacted. Reaction of I with 4-aminoacetophenone, or with o-, m-, or p-phenylenediamine, gives corresponding mono- and bis-sulfonamides II or III, resp. II reacts with hydrazine hydrate or phenylhydrazine to yield hydrazones. Ortho-III is cyclized with aldehydes to give benzimidazole derivs.

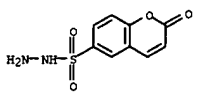
IT **173975-88-7P**, 6-[[[(4-Acetamidophenyl)sulfonyl]amino]sulfonyl]coumarin
RL: SPN (Synthetic preparation); PREP (Preparation)
(final product; reactions of coumarinsulfonyl chloride with amines and sulfonamides, and derived products)

RN 173975-88-7 CA
CN Acetamide, N-[4-[[[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

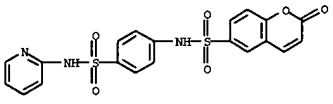
L4 ANSWER 28 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)



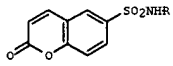
L4 ANSWER 29 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 123:143595 CA
TITLE: Reactions with coumarin
AUTHOR(S): El-Aleem, A. H. Abd; El-Bary, H. Abd; Ismail, I. Imam; El-Bawomy, G. M.
CORPORATE SOURCE: Faculty Science, Menoufia University, Egypt
SOURCE: Modelling, Measurement & Control, C: Energetics, Chemistry, Earth, Environmental & Biomedical Problems (1994), 46(3), 17-23
CODEN: MMCPE5; ISSN: 1259-5977
PUBLISHER: Association for the Advancement of Modelling and Simulation Techniques in Enterprises
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Some reactions of coumarin-6-sulfonyl chloride (I) with hydrazines or acid hydrazides were investigated. E.g., reaction of I with hydrazine hydrate gave the hydrazino derivative, which reacted with aldehydes or ketones to yield hydrazones.
IT **112097-36-6P**, 2H-1-Benzopyran-6-sulfonic acid, 2-oxo-, hydrazide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactions of)
RN 112097-36-6 CA
CN 2H-1-Benzopyran-6-sulfonic acid, 2-oxo-, hydrazide (9CI) (CA INDEX NAME)



L4 ANSWER 30 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 123:83157 CA
TITLE: Reactions with coumarin. III
AUTHOR(S): Ismail, I. Imam; El-Sakka, I. A.; Abd El-Aleem, A. H.
CORPORATE SOURCE: Natl. Res. Cent., Cairo, Egypt
SOURCE: Afinidad (1995), 52(456), 133-6
CODEN: AFINAE; ISSN: 0001-9704
PUBLISHER: Asociacion de Quimicos del Instituto Quimico de Sarria
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Various coumarin-6-sulfonic acid esters are prepared through reaction of coumarin-6-sulfonyl chloride (I) with some phenolic compds. The reaction of 2-formylphenyl coumarin-6-sulfonate with primary amines led to the Schiff's bases. Aceturic or hippuric acid reacts with 4-formylphenyl coumarin-6-sulfonate to give oxazolone derivs. I reacts with some sulfonamides yielding coumarin-6-sulfonamide derivs. Coumarin-6-sulfonylurea derivs. were also prepared
IT **165073-87-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of coumarinsulfonates and -sulfonamides)
RN 165073-87-0 CA
CN 2H-1-Benzopyran-6-sulfonamide, 2-oxo-N-[4-[(2-pyridinylamino)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

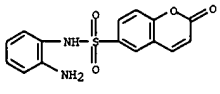


L4 ANSWER 31 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 123:83153 CA
TITLE: Reactions with coumarin. II
AUTHOR(S): Abd El-Bary, H.; Abd El-Aleem, A. H.; Ismail, I. Imam; El-Bawomy, G. M.
CORPORATE SOURCE: Fac. Sci., Menoufia Univ., Egypt
SOURCE: Modelling, Measurement & Control, C: Energetics, Chemistry, Earth, Environmental & Biomedical Problems (1995), 47(1), 43-8
CODEN: MMCPE5; ISSN: 1259-5977
PUBLISHER: Association for the Advancement of Modelling and Simulation Techniques in Enterprises
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

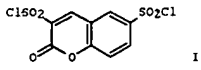


I

AB Coumarin-6-sulfonyl chloride reacted with o-, m-, p-phenylenediamine to give the sulfonamides I (R = 2-, 3-, 4-H2NC6H4). Phenylisocyanate or phenylisothiocyanate reacted with I yielding the urea derivs. Condensation of I with acetaldehyde or acetophenone gave the imines. The sulfonic acid esters were formed through condensation of coumarin-6-sulfonyl chloride with phenolic derivs.
IT **159018-35-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reactions of coumarinsulfonamide derivs.)
RN 159018-35-6 CA
CN 2H-1-Benzopyran-6-sulfonamide, N-(2-aminophenyl)-2-oxo- (9CI) (CA INDEX NAME)

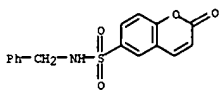


L4 ANSWER 32 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 123:55653 CA
TITLE: Reactions with coumarin-3,6-disulfonyl chloride
AUTHOR(S): Abd El-Aleem, Abd El-Aleem Hassan
CORPORATE SOURCE: Fac. Sci., Menoufia Univ., Egypt
SOURCE: Modelling, Measurement & Control, C: Energetics, Chemistry, Earth, Environmental & Biomedical Problems (1995), 47(1), 49-54
CODEN: MMCPE5; ISSN: 1259-5977
PUBLISHER: Association for the Advancement of Modelling and Simulation Techniques in Enterprises
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

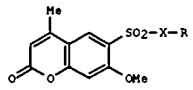


I

AB The reaction between coumarin-3,6-disulfonyl chloride (I) and amino compds. is investigated. The acid chloride reacts with aliphatic amines such as Et amine, ethanolamine, ethylenediamine or benzylamine to give the corresponding coumarin-6-sulfonamide derivs. While its reaction with secondary amines, aromatic amines or acid hydrazide gives the corresponding coumarin-3,6-disulfonamides. The reaction with hydrazine hydrate gives coumarin-6-sulfonylhydrazide or coumarin-3,6-disulfonylhydrazide, depends on the reaction conditions.
IT **84015-70-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(reactions of coumarindisulfonyl chloride)
RN 84015-70-3 CA
CN 2H-1-Benzopyran-6-sulfonamide, 2-oxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

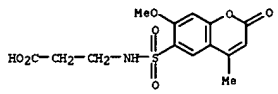


L4 ANSWER 33 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 122:161309 CA
TITLE: Synthesis and antimicrobial activity of some new 7-methoxy-4-methylcoumarin-6-sulfonylamino acid derivatives
AUTHOR(S): Ibrahim, T M.; Ahmed, F S M.; Shedid, S A.
CORPORATE SOURCE: Faculty Science, Al-Azhar University, Nasr, Egypt
SOURCE: Proceedings of the Indian National Science Academy, Part A: Physical Sciences (1994), 60(2), 433-9
CODEN: PIPSBD; ISSN: 0370-0046
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

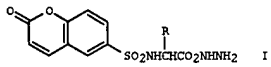


I

AB Title compds. I [X = amino acid, dipeptide; R = OH, OMe, NHNH2] were prepared from the sulfonyl chloride and amino acid, amino ester, or dipeptide.. The amino acid derivs., but not the peptide derivs., have bactericidal activity.
IT **161255-84-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antimicrobial activity of some new methoxy(methyl)coumarinsulfonylamino acid derivs.)
RN 161255-84-1 CA
CN β-Alanine, N-[(7-methoxy-4-methyl-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



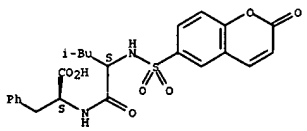
L4 ANSWER 34 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 122:81071 CA
TITLE: Synthesis of some coumarin-6-sulfono-N-amino acids and evaluation of their antimicrobial activity
AUTHOR(S): Shalaby, A. M.; Mandour, A. H.; Farrag, H. A.
CORPORATE SOURCE: National Research Centre, Cairo, Egypt
SOURCE: Bulletin of the National Research Centre (Egypt) (1994), 19(2), 97-106
CODEN: BNRCET
PUBLISHER: National Information and Documentation Centre
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



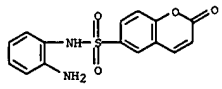
I

AB The reaction of 6-coumarinsulfonyl chloride with amino acid esters gave N-[(coumarinyl)sulfonyl]glycine derivs. that were converted to the corresponding N-[(coumarinyl)sulfonyl]glycine hydrazides I (R = alkyl, benzyl, etc.). The antimicrobial activity of N-[(coumarinyl)sulfonyl]glycine hydrazide s and preparation of N-[(coumarinyl)sulfonyl]dipeptides was reported.
IT **160315-85-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[(coumarinyl)sulfonyl]dipeptide derivative bactericide fungicide)
RN 160315-85-5 CA
CN L-Phenylalanine, N-[N-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-L-leucyl]- (9CI) (CA INDEX NAME)

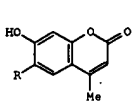
Absolute stereochemistry.

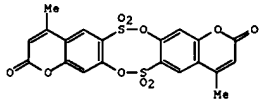


L4 ANSWER 35 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 121:280504 CA
TITLE: Reactions with coumarin. II
AUTHOR(S): Abd El-Bary, H.; Abd El-Aleem, A. H.; Ismail, I. Imam; El-Bayaumy, G. M.
CORPORATE SOURCE: Fac. Sci., Menoufia Univ., Egypt
SOURCE: Afinidad (1994), 51(452), 311-14
CODEN: AFINAE; ISSN: 0001-9704
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Coumarin-6-sulfonyl chloride (I) reacted with o-, m-, and p-phenylenediamines to give the sulfonamides. Ph isocyanate or Ph isothiocyanate reacted with the sulfonamides yielding urea derivs. Condensation of the sulfonamides with acetaldehyde or acetophenone gave imines. Sulfonic acid esters were formed through condensation of I with phenolic derivs.
IT **159018-35-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of coumarin derivs.)
RN 159018-35-6 CA
CN 2H-1-Benzopyran-6-sulfonamide, N-(2-aminophenyl)-2-oxo- (9CI) (CA INDEX NAME)

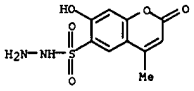


L4 ANSWER 36 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 121:9227 CA
TITLE: Coumarin derivatives (part II). Synthesis and antimicrobial activity of certain sulfonamide, sulfonylhydrazine and sulfonyl azide derivatives of 4-methyl-7-hydroxycoumarin
AUTHOR(S): Badran, M. M.; Ismail, M. Abdel Hamid; Ismail, M. Mohsen; Abdel-Hakeem, M.
CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Pharmaceutical Sciences (1992), 33(5-6), 1081-98
CODEN: EJPSBZ; ISSN: 0301-5068
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

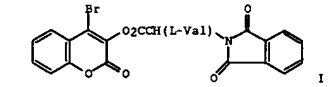

I


II

AB The title coumarin derivs. were prepared starting from coumarin derivative I (R = SO2Cl) which was treated with hydrazine to give I (R = SO2NHNH2). Substitution of the latter with RlCOCl (R1 = heterocyclyl), diazotization by HNO2, condensation with MeCOCH2COR2 (R2 = heterocyclyl), and heating gave the corresponding coumarin derivs. and a dimer. Addnl. obtained was bisbenzopyranodioxadithiocin derivative II.
IT 112097-38-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and substitution reactions of)
RN 112097-38-8 CA
CN 2H-1-Benzopyran-6-sulfonic acid, 7-hydroxy-4-methyl-2-oxo-, hydrazide (9CI) (CA INDEX NAME)



L4 ANSWER 37 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 120:54420 CA
TITLE: Studies on the structure-activity relationship of some new hydroxy coumarin derivatives
AUTHOR(S): Ibrahim, Tarek M.; El-Gazzar, Mohamed A.; El-Naggar, Ahmed M.; Shedid, Saied A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr, Egypt
SOURCE: Proceedings of the Indian National Science Academy, Part A: Physical Sciences (1993), 59(2), 189-95
CODEN: PIPSBD; ISSN: 0370-0046
DOCUMENT TYPE: Journal
LANGUAGE: English
GI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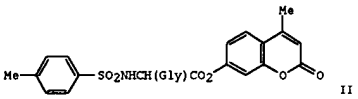
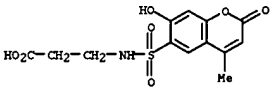

II

AB Synthesis of phthalimido- or tosylamino coumarin derivs., e.g., I, II, and N-(7-hydroxy-4-Me coumarin-6-sulfonyl)amino acids are described. Seven of these compds possess specific antimicrobial activities.
IT 152061-80-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
RN 152061-80-8 CA
CN β-Alanine, N-[(7-hydroxy-4-methyl-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)

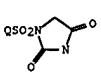


L4 ANSWER 38 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 114:6501 CA
TITLE: Preparation of heterocyclylsulfonylhydantoins as aldose reductase inhibitors
INVENTOR(S): Mochida, Ei; Murakami, Kimihiro; Kato, Kazuo; Kato, Katsuaki; Okuda, Jun; Miwa, Ichitomo
PATENT ASSIGNEE(S): Mochida Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 72 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 355827	A2	19900228	EP 1989-115635	19890824
EP 355827	A3	19900321		
EP 355827	B1	19970102		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4914099	A	19900403	US 1988-235557	19880824
WO 9002126	A1	19900308	WO 1989-JP851	19890822
W: AU, DK, FI, NO				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8940647	A1	19900323	AU 1989-40647	19890822
AU 623676	B2	19920521		
CA 1338866	A1	19970121	CA 1989-609100	19890823
JP 04128266	A2	19920428	JP 1989-217697	19890824
JP 06015539	B4	19940302		
AT 147073	E	19970115	AT 1989-115635	19890824
ES 2098222	T3	19970501	ES 1989-115635	19890824
US 5004751	A	19910402	US 1989-426021	19891024
NO 9001789	A	19900423	NO 1990-1789	19900423
NO 176478	B	19950102		
NO 176478	C	19950412		
DK 9001001	A	19900614	DK 1990-1001	19900423
US 5232936	A	19930803	US 1991-644632	19910123
US 5202339	A	19930413	US 1991-660562	19910225
AU 9221225	A1	19921015	AU 1992-21225	19920821
AU 646967	B2	19940310		
US 35279	E	19960618	US 1994-197705	19940217

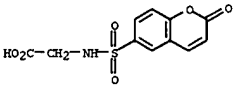
PRIORITY APPLN. INFO.: US 1988-235557 A 19880824
JP 1989-43422 A 19890225
JP 1987-214549 A 19870828
WO 1989-JP851 A 19890822
US 1989-426021 A3 19891024
JP 1990-43420 A 19900223
US 1991-644632 A5 19910123

OTHER SOURCE(S): CASREACT 114:6501; MARPAT 114:6501
GI


I

AB Title compds. I (Q = (un)substituted mono- or fused heterocyclyl) salts or solvates were prepared I are useful for treatment and/or prevention of

L4 ANSWER 38 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)
various forms of diabetic complications based on the accumulation of polyol metabolites. Intermediates for prepg. I are also given. Pharmaceutical formulations comprising I are given. To a suspension of ICl in HCl were added 1-(benzo[b]thien-2-ylsulfonyl)-2-thiohydantoin (prepn. given) and CH2Cl2 to give I (Q = benzo[b]thien-2-yl). I (Q = 3-bromo-4,6-dichlorobenzo[b]furan-2-yl) also prepd. was tested on bovine lens aldose reductase; the IC50 was 0.054 μmol/L vs. sorbinyl whose IC50 was 0.6 μmol/L.
IT 123090-34-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of aldose reductase inhibitors)
RN 123090-34-6 CA
CN Glycine, N-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 39 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 111:232812 CA
TITLE: Preparation, testing, and formulation of 1-(arylsulfonyl)hydantoins as aldose reductose inhibitors
INVENTOR(S): Mochida, Ei; Kato, Kazuo; Kato, Katsuaki; Miwa, Ichitomo; Okuda, Jun
PATENT ASSIGNEE(S): Mochida Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

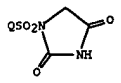
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 305947	A1	19890308	EP 1988-114050	19880829
EP 305947	B1	19920729		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 01061465	A2	19890308	JP 1987-214549	19870828
JP 2764262	B2	19980611		
WO 8901934	A1	19890309	WO 1988-JP843	19880825
W: DK, FI, NO				
AU 8821577	A1	19890302	AU 1988-21577	19880826
AU 609180	B2	19910426		
CA 1312083	A1	19921229	CA 1988-575759	19880826
AT 78815	E	19920815	AT 1988-114050	19880829
ES 2042666	T3	19931216	ES 1988-114050	19880829
FI 8901933	A	19890424	FI 1989-1933	19890424
FI 97134	B	19960715		
FI 97134	C	19961025		
NO 8901689	A	19890424	NO 1989-1689	19890424
NO 173059	B	19930712		
NO 173059	C	19931020		
DK 8902073	A	19890428	DK 1989-2073	19890428
US 5004751	A	19910402	US 1989-426021	19891024
US 5202339	A	19930413	US 1991-660562	19910225
US 35279	E	19960618	US 1994-197705	19940217

PRIORITY APPLN. INFO.:

JP 1987-214549	A	19870828
US 1988-235557	A2	19880824
WO 1988-JP843	A	19880825
EP 1988-114050	A	19880829
JP 1989-43422	A	19890225
US 1989-426021	A3	19891024
JP 1990-43420	A	19900223
US 1991-644632	A5	19910123

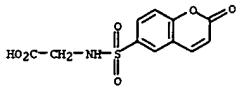
OTHER SOURCE(S): MARPAT 111:232812
GI

L4 ANSWER 39 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)



I

AB The title compds [I; Q = C1-8 alkyl, C3-6 cycloalkyl, biphenylyl, (substituted) heterocyclyl, 2-naphthalenyl], useful as aldose reductase inhibitors, were prepared K2CO3, glycine, and 1-chloronaphthalen-2-ylsulfonyl chloride in H2O were refluxed for 30 min in H2O to give N-(1-chloronaphthalen-2-yl)sulfonyl glycine. The latter was heated with pyridine, NH4SCN, and Ac2O for 15 min at 100° to give 1-(1-chloronaphthalen-2-ylsulfonyl)-2-thiohydantoin, which was heated with 50% HNO3 at 100° for 40 min to give 1-(1-chloronaphthalen-2-ylsulfonyl)hydantoin. I inhibited rat lens aldose reductase with IC50's of 0.038-0.66 μmol/L.
IT **123090-34-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for aldose reductase inhibitor)
RN 123090-34-6 CA
CN Glycine, N-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 40 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 110:57464 CA
TITLE: The chemistry of sulfonylcoumarin derivatives
AUTHOR(S): Cremlyn, Richard J.; Clowes, Sally M.
CORPORATE SOURCE: Div. Chem. Sci., Hatfield Polytech., Hatfield/Hertfordshire, AL10 9AB, UK
SOURCE: Journal of the Chemical Society of Pakistan (1988), 10(1), 97-104
CODEN: JCSPDF; ISSN: 0253-5106
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:57464
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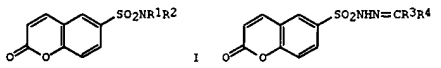
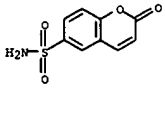
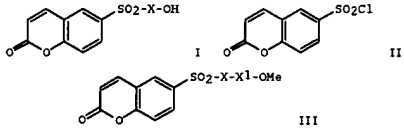


I II

AB 6-(Chlorosulfonyl)coumarin was amidated to give amides I (R1 = H, alkyl; R2 = H, alkyl, PhCH2, tolyl; or NR1R2 = morpholino). Similarly, hydrazones II [R3 = Me, H; R4 = Me, Ph, C1C6H4, O2NC6H4; or R3R4 = (CH2)4] were prepared from the sulfonyl chloride via the resp. hydrazide. Some I and II showed fungicidal activity.
IT **90322-59-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and fungicidal activity of)
RN 90322-59-1 CA
CN 2H-1-Benzopyran-6-sulfonamide, 2-oxo- (9CI) (CA INDEX NAME)

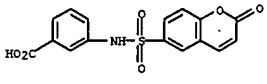


L4 ANSWER 41 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 108:167952 CA
TITLE: Synthesis and antimicrobial activity of some new N-coumarin-6-sulfonyl amino acid and dipeptide derivatives
AUTHOR(S): El-Naggar, A. M.; Abd El-Salam, A. M.; Ibrahim, T. M.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Afinidad (1987), 44(411), 431-3
CODEN: AFINAE; ISSN: 0001-9704
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:167952
GI

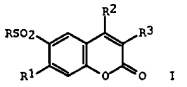


I II III

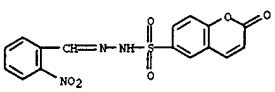
AB Title amino acids I [X = β-Ala, Val, DL-Val, Leu, p-NHC6H4CO (p-Aba), m-NHC6H4CO (m-Aba), Tyr, etc.] were prepared by sulfonylating the appropriate amino acid with sulfonyl chloride II. I were esterified with MeOH via SOCl2 to give the corresponding Me esters. Dipeptides III (X-X1 = β-Ala-DL-Ser, β-Ala-Leu, Pro-Phe, Phe-Val, etc.) were prepared by coupling the appropriate I with H-X1-OMe.HCl by DCC in THF containing Et3N.
I (X = β-Ala, p-Aba, m-Aba) and the Me esters of I (X = Leu, Pro) were active against a number of microorganisms.
IT **113789-54-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibacterial activity of)
RN 113789-54-1 CA
CN Benzoic acid, 3-[[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



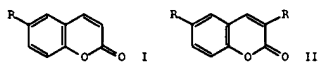
L4 ANSWER 42 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 108:55829 CA
TITLE: Some reactions with coumarins sulfonyl chloride and their antibacterial activities
AUTHOR(S): Aly, F. M.; Bedair, A. H.; El-Assy, R. K. M.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Oriental Journal of Chemistry (1987), 3(1), 76-82
CODEN: OJCHEG; ISSN: 0970-020X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



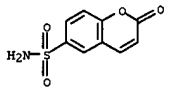
AB Condensation of coumarinsulfonyl chlorides I(R = Cl, R1 = R2 = H, R3 = SO2Cl; R = Cl, R1 = OH, R2 = Me, R3 = H, II) with hydrazine gave the corresponding sulfonylhydrazides I (R = NHNH2, R1 = R2 = R3 = H; R = NHNH2, R1 = OH, R2 = Me, R3 = H). Condensation of the sulfonylhydrazides with benzaldehydes R4C6H4CHO (R4 = 2-NO2, 3-NO2, 4-NO2, H, 2-OH) gave the corresponding hydrazones. Condensation of II with amines R5NH2 (R5 = Ph, R6C6H4, 1-C10H7, cyclohexyl, EtCHMe, R6 = 2-Me, 3-Me, 4-Me, 3-OH, 4-OMe) gave the corresponding sulfonamides and condensation with 3- and 4-(H2N)2C6H4 gave the corresponding disulfonamides. The bactericidal activity of the newly prepared compds. was discussed.
IT **105125-20-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
RN 105125-20-0 CA
CN 2H-1-Benzopyran-6-sulfonic acid, 2-oxo-, [(2-nitrophenyl)methylene]hydrazide (9CI) (CA INDEX NAME)



L4 ANSWER 43 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 105:208723 CA
TITLE: Synthesis of coumarin sulfonamides, sulfonates, and related compounds
AUTHOR(S): El-Maghraby, A. A.; Aly, F. M.; Bedair, A. H.; Emam, H. A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr, Egypt
SOURCE: Egyptian Journal of Chemistry (1985), Volume Date 1984, 27(4), 459-69
CODEN: EGJCA3; ISSN: 0367-0422
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB Condensation of coumarin-6-sulfonyl chloride I (R = SO2Cl) with H2NCH2CH2NH2 gave sulfonamide I [R = SO2NH(CH2)2NH2], which reacted with aromatic amines to give the corresponding Schiff bases I [R = SO2NH(CH2)2N:CHR1 (R1 = Ph, substituted Ph)]. Various coumarin-3,6-disulfonamides II [R = SO2NHR1 (R1 = Ph, substituted Ph)], diarylsulfonates II [R = SO3R1 (R1 = substituted Ph)], and coumarin-6-sulfonamides I [R = SO2NHR1 (R1 = Bu, CH2Ph, 2-furyl, piperidyl)] were prepared starting from coumarin-3,6-disulfonyl chloride (II, R = SO2Cl).
IT **90322-59-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with benzenediazonium chloride)
RN 90322-59-1 CA
CN 2H-1-Benzopyran-6-sulfonamide, 2-oxo- (9CI) (CA INDEX NAME)

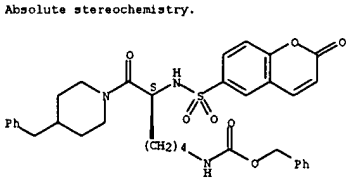


L4 ANSWER 44 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 105:97950 CA
TITLE: Lysine derivative and proteinase inhibitor
INVENTOR(S): Okamoto, Shosuke; Okada, Yoshio; Okunomiya, Akiko; Naito, Taketoshi; Yamada, Morihiko; Kimura, Yoshio; Katsuura, Yasuhiro; Suzuki, Hiroshi; Ohno, Norio; Seki, Yumi
PATENT ASSIGNEE(S): Showa Denko K. K., Japan
SOURCE: Eur. Pat. Appl., 86 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 183271	A2	19860604	EP 1985-115142	19851129
EP 183271	A3	19870520		
EP 183271	B1	19900516		
R: CH, DE, FR, GB, LI, SE				
JP 61130268	A2	19860618	JP 1984-251985	19841130
JP 61189255	A2	19860822	JP 1985-26556	19850215
JP 61218565	A2	19860929	JP 1985-56153	19850322
JP 62005945	A2	19870112	JP 1985-143852	19850702
PRIORITY APPLN. INFO.:			JP 1984-251985 A	19841130
			JP 1985-26556 A	19850215
			JP 1985-56153 A	19850322
			JP 1985-143852 A	19850702

AB Lysines R1Z1-Lys-R2 (R1 = carbocyclic or heterocyclic aryl; Z1 = SO2, CO; R2 = NH2, substituted amino), which were prepared, showed plasmin inhibition activity. N2-(p-Toluenesulfonyl)-L-lysine 4-benzylpiperidide was prepared from N6-(benzyloxycarbonyl)lysine in a series of reactions.
IT **103892-78-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of)
RN 103892-78-0 CA
CN Carbamic acid, [6-oxo-5-[[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]amino]-6-[4-(phenylmethyl)-1-piperidinyl]hexyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 45 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 98:98756 CA
TITLE: Blocked photographically useful compounds and photographic compositions, elements and processes employing them
INVENTOR(S): Mooberry, Jared B.; Archie, William C., Jr.
PATENT ASSIGNEE(S): Eastman Kodak Co., USA
SOURCE: U.S., 42 pp. Cont.-in-part of U.S. 4,310,612.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4358525	A	19821109	US 1981-292095	19810812
US 4310612	A	19820112	US 1978-949462	19781010
CA 1158642	A1	19831213	CA 1979-332206	19790720
AU 7951503	A1	19800417	AU 1979-51503	19791005
GB 2036994	A	19800702	GB 1979-34892	19791008
GB 2036994	B2	19820811		
JP 55053330	A2	19800418	JP 1979-130716	19791009
JP 62020538	B4	19870507		
BR 7906499	A	19800624	BR 1979-6499	19791009
PRIORITY APPLN. INFO.:			US 1978-949462 A2	19781010
			GB 1978-40307 A	19781012

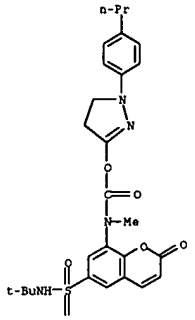
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A photog. diffusion-transfer material contains a photog. reagent or dye, blocked in such a way that the compound is resistant to unblocking under storage conditions, but is rapidly unblocked during photog. processing. Thus, a freshly prepared photog. element containing gelatin, a cyan dye-releasing compound (I), a blocked electron transfer agent (II), and Ag halide grains was kept several days at ambient conditions, imagewise exposed, and laminated to a receiving sheet (containing a C layer, a reflecting layer, and a mordant layer on a support) with a processing composition (containing KOH, CMC and KF) between the element and the receiver. The
image was viewed through a clear support, and good image discrimination was obtained. A control prepared with p-methylaminophenyl instead of II gave no image discrimination at all.
IT **84528-94-9**
RL: USES (Uses)
(photog. blocked reagent, unblocking rate for)
RN 84528-94-9 CA
CN Carbamic acid, [6-[[(1,1-dimethylethyl)amino]sulfonyl]-2-oxo-2H-1-benzopyran-8-yl]methyl-, 4,5-dihydro-1-(4-propylphenyl)-1H-pyrazol-3-yl ester (9CI) (CA INDEX NAME)

L4 ANSWER 45 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)

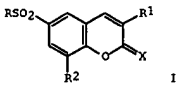
PAGE 1-A



PAGE 2-A

L4 ANSWER 46 OF 58 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 98:34463 CA
TITLE: Synthesis and biological activity of coumarin sulfonamides and related compounds
AUTHOR(S): Islam, A. M.; Bedair, A. H.; El-Maghraby, A. A.; Aly, F. M.; Emam, H. A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1982), 21B(5), 487-9
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 98:34463
GI

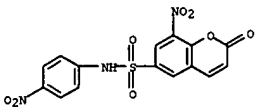


I

AB The coumarins I [R = BuNH, PhCH2NH, 2-furylmethylamino, (un)substituted anilino, (un)substituted phenoxy; R1 = R2 = H; X = O] were prepared by treating 6-coumarinylsulfonyl chloride with RH. Some I (R1 = R2 = H) were converted to I (R1 = R2 = Br, R1 = H, R2 = NO2, R = R1 = H, X = S, NOH). Some I had bactericidal activity.

IT **84015-93-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with hydrazine)

RN 84015-93-0 CA
CN 2H-1-Benzopyran-6-sulfonamide, 8-nitro-N-(4-nitrophenyl)-2-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 47 OF 58 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 90:152610 CA
TITLE: N2-Arylsulfonyl-L-argininamides
INVENTOR(S): Okamoto, Shosuke; Kikumoto, Ryoji; Tamao, Yoshikuni; Okubo, Kazuo; Tezuka, Toru; Tonomura, Shinji; Hijikata, Akiko
PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Co., Ltd., Japan
SOURCE: Ger. Offen., 147 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 15
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2801478	A1	19780720	DE 1978-2801478	19780113
DE 2801478	C2	19910131		
US 4066773	A	19780103	US 1977-760745	19770119
US 4073913	A	19780214	US 1977-760668	19770119
US 4093712	A	19780606	US 1977-760672	19770119
US 4097472	A	19780627	US 1977-760676	19770119
US 4101653	A	19780718	US 1977-760929	19770119
US 4097591	A	19780627	US 1977-776195	19770310
JP 54003037	A2	19790111	JP 1977-66508	19770606
JP 60010028	B4	19850314		
US 4125604	A	19781114	US 1977-804334	19770607
US 4131673	A	19781226	US 1977-804368	19770607
US 4140681	A	19790220	US 1977-804331	19770607
IL 53685	A1	19851231	IL 1977-53685	19771223
AU 7832289	A1	19790719	AU 1978-32289	19780109
AU 522320	B2	19820527		
ZA 7800123	A	19790829	ZA 1978-123	19780109
FI 7800073	A	19780720	FI 1978-73	19780110
FI 72316	B	19870130		
FI 72316	C	19870511		
ES 466706	A2	19781016	ES 1978-466706	19780110
NL 7800448	A	19780721	NL 1978-448	19780113
NL 187746	B	19910801		
NL 187746	C	19920102		
SE 7800512	A	19780720	SE 1978-512	19780117
SE 452624	B	19871207		
SE 452624	C	19880317		
HU 22709	O	19820628	HU 1978-MI626	19780117
HU 180265	B	19830228		
DK 7800263	A	19780720	DK 1978-263	19780118
DK 150521	B	19870316		
DK 150521	C	19871019		
NO 7800191	A	19780720	NO 1978-191	19780118
NO 158681	B	19880711		
NO 158681	C	19881019		
FR 2378004	A2	19780818	FR 1978-1368	19780118
FR 2378004	B2	19850913		
GB 1596971	A	19810903	GB 1978-2063	19780118
PL 123267	B1	19821030	PL 1978-204063	19780118
CH 633773	A	19821231	CH 1978-519	19780118
CH 648293	A	19850315	CH 1978-4530	19780118
SU 1181539	A3	19850923	SU 1978-2566652	19780118
BE 863092	A4	19780719	BE 1978-184463	19780119
ES 466705	A2	19790816	ES 1978-466705	19780119
DD 137352	C	19790829	DD 1978-203302	19780119

L4 ANSWER 47 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)

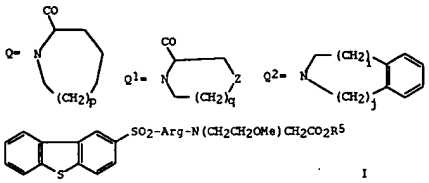
AT 7800399	A	19820515	AT 1978-399	19780119
AT 369356	B	19821227		
CS 236757	B2	19850515	CS 1978-381	19780119
JP 62014548	B4	19870402	JP 1978-4529	19780119
JP 54100342	A2	19790808		
US 4173630	A	19791106	US 1978-902855	19780504
SU 938739	A3	19820623	SU 1979-2776611	19790618
AT 8003284	A	19820515	AT 1980-3284	19800623
AT 369357	B	19821227		
AT 8003285	A	19820515	AT 1980-3285	19800623
AT 369358	B	19821227		
CS 236772	B2	19850515	CS 1981-2011	19810319
CS 236773	B2	19850515	CS 1981-2012	19810319
FI 8402539	A	19840621	FI 1984-2539	19840621
FI 74455	B	19871030		
FI 74455	C	19880208		

PRIORITY APPLN. INFO.:

US 1977-760668	A	19770119
US 1977-760672	A	19770119
US 1977-760676	A	19770119
US 1977-760745	A	19770119
US 1977-760929	A	19770119
US 1977-776195	A	19770310
JP 1977-66508	A	19770606
US 1977-804331	A	19770607
US 1977-804368	A	19770607
JP 1974-128774	A	19741108
JP 1974-128775	A	19741108
JP 1974-136695	A	19741129
JP 1974-136697	A	19741129
JP 1975-23268	A	19750225
JP 1975-23635	A	19750226
JP 1975-26768	A	19750305
JP 1975-29357	A	19750311
JP 1975-29358	A	19750311
US 1975-62	239A3	19751014
US 1975-622390	A3	19751014
US 1975-638985	A2	19751209
US 1976-646522	A	19760105
US 1976-649219	A	19760114
US 1976-653217	A2	19760128
US 1976-656014	A	19760206
US 1976-656870	A	19760210
US 1976-669743	A	19760324
US 1976-671436	A2	19760329
US 1976-671568	A2	19760329
US 1976-703704	A2	19760708
US 1976-707536	A2	19760722
US 1976-713486	A2	19760811
US 1976-723474	A	19760914
US 1976-728051	A	19760930
US 1977-760677	A2	19770119
FI 1978-73	A	19780110
CH 1978-519	A	19780118
AT 1978-399	A	19780119
CS 1978-381	A3	19780119

GI

L4 ANSWER 47 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)



I

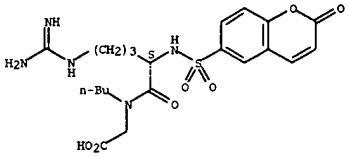
AB RSO2-Arg-X-OR1 (R = substituted Ph, substituted naphthyl, heterocyclic group; X = NR2(CH2)nCO (R2 = aliphatic, aralkyl, carbocyclic, or heterocyclic group; n = 1-3), NR3CHR4(CH2)mCO (R3 = H or R2; R4 = C1-10 alkyl, substituted C1-10 alkyl, C1-12 aralkyl, substituted benzyl; m = 0-2), substituted piperidinecarboxylic acid residue, Q (p = 1-4), Q1 (Z = O, S, SO; q = 0, 1), Q2 (i and j = 0-2 where i + j = 1 or 2); R1 = H, C1-10 alkyl, C6-10 aryl, C7-12 aralkyl] and their salts (.apprx.135 compds.) were prepared as thrombin inhibitors. Thus, arginine was acylated with 2-dibenzothiophenesulfonyl chloride to give the N2-sulfonyl derivative, which was converted to its acid chloride and amidated with MeOCH2CH2-Gly-OEt to give dipeptide I (R5 = Et) (II). II was saponified to give I (R5 = H) (III).
III at 0.45 μM doubled blood coagulation time.

IT **69129-85-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

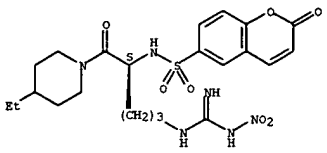
RN 69129-85-7 CA

CN Glycine, N-butyl-N-[N2-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-L-arginyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 48 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 48 OF 58 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 88:7366 CA

TITLE: N2-Substituted-L-argininamides

INVENTOR(S): Okamoto, Shosuke; Kikumoto, Ryoji; Tamao, Yoshikuni; Okubo, Kazuo; Tezuka, Toru; Tonomura, Shinji; Hijikata, Akiko

PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

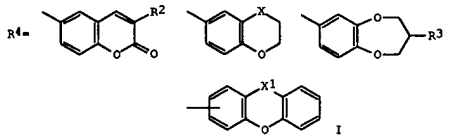
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52083655	A2	19770712	JP 1976-254	19760101
JP 59042675	B4	19841016		
PRIORITY APPLN. INFO.:			JP 1976-254 A	19760101

GI



I

AB Thirty-four title derivs. H2NC(:NH)NH(CH2)3CH(CONRR1)NHSO2R4 (I, R, R1 = H, Me, Bu, MeOCH2CH2, MeO2CCH2CH2, PhCH2; NRR1 may form a heterocyclic ring; R2 = H, Et; R3 = H, MeO, Et; X = CH2, O; X1 = a bond, CH2, O) and their acid salts were prepared e.g., by removal of the substituents from NG-substituted-N2-substituted-L-argininamide derivs. I had antithrombotic activity (data obtained with bovine fibrinogen). Thus, a mixture of 1.08 g 4-ethyl-1-[NG-nitro-N2-(6-coumarinsulfonyl)-L-arginyl]piperidine, 0.64 g PhOMe, and 3 mL HF was stirred 30 min with ice cooling to give 78% 4-ethyl-1-[N2-(6-coumarinsulfonyl)-L-arginyl]piperidine-HF.

IT **63233-63-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(denitration of)

RN 63233-63-6 CA

CN Piperidine, 4-ethyl-1-[5-[[imino(nitroamino)methyl]amino]-1-oxo-2-[[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]amino]pentyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 49 OF 58 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 87:202117 CA

TITLE: NG-Substituted-N2-coumarinsulfonylargininamides

INVENTOR(S): Okamoto, Shosuke; Kikumoto, Ryoji; Tamao, Yoshikuni; Okubo, Kazuo; Tezuka, Toru; Tonomura, Shinji; Hijikata, Akiko

PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52083665	A2	19770712	JP 1976-249	19760101
JP 60047268	B4	19851021		
PRIORITY APPLN. INFO.:			JP 1976-249 A	19760101

GI For diagram(s), see printed CA Issue.

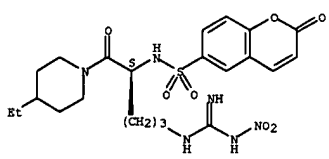
AB Nine title derivs. I (R = NO2, PhCH2O2C; R1 = H, PhCH2O2C; R2, R3 = H, Me, Bu, PhCH2, MeO2CCH2CH2; NR2R3 may form a heterocyclic ring; R4 = H, Et) were prepared by reaction of HNRC(:NH)NR1(CH2)3CH(NH2)CONR2R3 with 6-coumarinsulfonyl chloride (II) or 3-ethyl-6-coumarinsulfonyl chloride. Thus, 2.4 g K2CO3 and 2.35 g II were added to 3 g 4-ethyl-1-NG-nitro-L-arginyl)piperidine-HCl in aqueous dioxane and the mixture was stirred 3 h at room temperature to give 71% I (R = NO2, R1 = R4 = H, NR2R3 = 4-ethylpiperidino).

IT **63233-63-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 63233-63-6 CA

CN Piperidine, 4-ethyl-1-[5-[[imino(nitroamino)methyl]amino]-1-oxo-2-[[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]amino]pentyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 50 OF 58 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 87:85236 CA
TITLE: N2-Coumarinsulfonylargininеamides
INVENTOR(S): Okamoto, Shosuke; Kikumoto, Ryoji; Tamao, Yoshikuni; Okubo, Kazuo; Tezuka, Toru; Tonomura, Shinji; Hijikata, Akiko
PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52014769	A2	19770203	JP 1975-89406	19750722
JP 60047266	B4	19851021		

PRIORITY APPLN. INFO.: JP 1975-89406 A 19750722
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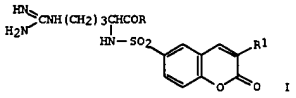
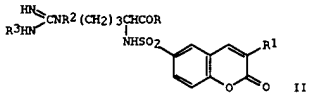


I



II

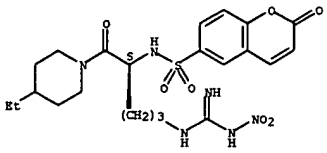
AB Eleven N2-coumarinsulfonylargininеamides I (R = 4-substituted piperidino, morpholino, BuMeN, MeO2CCH2CH2NH, BuNH, PhCH2NH, 4-substituted piperazino; R1 = H, Et) and their acid salts were prepared by removal of the guanidine-protecting groups from NG-substituted-coumarinsulfonylargininеamides II (R2, R3 = H, guanidine-protecting groups; both R2 and R3 are not H). I had antithrombin activity. Thus, 0.64 g anisole and 3 mL HF were added to 1.08 g II (R = 4-ethylpiperidino, R1 =R2 = H, R3 = NO2) with Dry Ice-Me2CO cooling and the mixture was stirred 30 min with ice cooling to give 78% I.HF (R = 4-ethylpiperidino, R1 = H).

IT **63233-63-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(deblocking of)

RN 63233-63-6 CA
CN Piperidine, 4-ethyl-1-[5-[[imino(nitroamino)methyl]amino]-1-oxo-2-[[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]amino]pentyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 50 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)

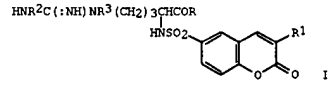


L4 ANSWER 51 OF 58 CA COPYRIGHT 2005 ACS on STN

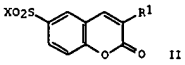
ACCESSION NUMBER: 87:53080 CA
TITLE: NG-Substituted-N2-coumarinsulfonylarginine amides
INVENTOR(S): Okamoto, Shosuke; Kikumoto, Ryoji; Tamao, Yoshikuni; Okubo, Kazuo; Tezuka, Toru; Tonomura, Shinji; Hijikata, Akiko
PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52014770	A2	19770203	JP 1975-89890	19750723
JP 60047267	B4	19851021		

PRIORITY APPLN. INFO.: JP 1975-89890 A 19750723
GI



I



II

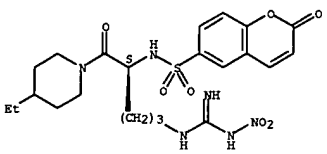
AB Twelve NG-substituted-N2-coumarinsulfonylarginine amides I (R = 4-substituted piperidino, morpholino, BuMeN, MeO2CCH2CH2NH, BuNH, PhCH2NH, 4-substituted piperazino; R1 = H, Et; R2 = NO2, PhCH2O2C; R3 = H, PhCH2O2C) were prepared by reaction of NG-substituted-argininamides R2NHC(:NH)NR3(CH2)3CH(COR)NH2 with coumarinsulfonyl halides II (X = halo). Thus, 2.4 g K2CO3 and 2.35 g II (R1 = H, X = Cl) were added to 3.0 g 4-ethyl-1-(NG-nitro-L-arginyl)piperidine-HCl in aqueous dioxane and the whole was stirred 3 h at room temperature to give 71% I (R = 4-ethylpiperidino, R1 = R3 = H, R2 = NO2).

IT **63233-63-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

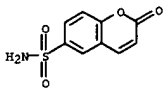
RN 63233-63-6 CA
CN Piperidine, 4-ethyl-1-[5-[[imino(nitroamino)methyl]amino]-1-oxo-2-[[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]amino]pentyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 51 OF 58 CA COPYRIGHT 2005 ACS on STN (Continued)



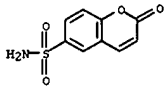
L4 ANSWER 52 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 59:66492 CA
ORIGINAL REFERENCE NO.: 59:12270a-b
TITLE: Dipole-moment measurements of coumarin derivatives and their orientation at a dropping-mercury electrode
AUTHOR(S): Griffiths, V. S.; Westmore, J. B.
CORPORATE SOURCE: Battersea Coll. Technol., London
SOURCE: Journal of the Chemical Society, Abstracts (1963), (Oct.), 4941-5
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The dipole moments of coumarin and its 6-amino-, 6-acetamido-, 6-sulfamoyl-, and 6-chlorosulfonyl derivs. were determined Coumarin derivs. are adsorbed with the dipole moment parallel to the Hg surface.
IT **90322-59-1**, Coumarin, 6-sulfamoyl-
(elec. moment of, polarography and)
RN 90322-59-1 CA
CN 2H-1-Benzopyran-6-sulfonamide, 2-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 53 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 55:58290 CA
ORIGINAL REFERENCE NO.: 55:11145h-i
TITLE: Electrodeposition of nickel
INVENTOR(S): Marx, Ulrich Francis
PATENT ASSIGNEE(S): Wilmot-Breeden Ltd.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 853995			GB	

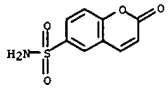
AB Ni electrodeposits which are bright, level, and ductile are obtained by use of a bath which contains an acidic aqueous solution of a Ni electrolyte and
0.25-0.5 g./l. each of both 6-sulfamoylcoumarin and 6-acetamidocoumarin. Cf. Brit. 622,761.
IT **90322-59-1**, Coumarin, 6-sulfamoyl-
(nickel bright electroplating in baths containing)
RN 90322-59-1 CA
CN 2H-1-Benzopyran-6-sulfonamide, 2-oxo- (9CI) (CA INDEX NAME)



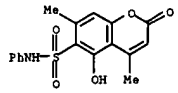
L4 ANSWER 54 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 55:31877 CA
ORIGINAL REFERENCE NO.: 55:6213g-h
TITLE: Electrodeposition of nickel
INVENTOR(S): Marx, Ulrich F.
PATENT ASSIGNEE(S): Wilmot-Breeden Ltd.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2961386		19601122	US	
DE 1106140			DE	
GB 852030			GB	
GB 853967			GB	

AB Coumarin derivs. as additives to conventional Ni electroplating baths give improved deposition. Thus, 0.25-0.5 g. "6-sulfamidocoumarin"/l. may be added to a Watts' solution Other derivs. useful are coumarin bisulfite and its salts, 6-nitrocoumarin, 6-aminocoumarin, and 6-acetamidocoumarin.
IT **90322-59-1**, Coumarin, 6-sulfamoyl-
(in nickel electroplating)
RN 90322-59-1 CA
CN 2H-1-Benzopyran-6-sulfonamide, 2-oxo- (9CI) (CA INDEX NAME)



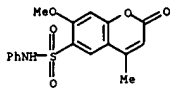
L4 ANSWER 55 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 51:90692 CA
ORIGINAL REFERENCE NO.: 51:16449a-d
TITLE: Substitution in the benzopyrone series. III. Sulfonation of some 5-hydroxycoumarin derivs
AUTHOR(S): Merchant, J. R.; Shah, R. C.
CORPORATE SOURCE: Inst. Sci., Bombay
SOURCE: J. Indian Chem. Soc. (1957), 34, 45-50
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The following compds. were sulfonated, the number of moles ClSO3H, temperature,
hrs. of heating, and products of sulfonation being given after each: 5-hydroxy-6-carbomethoxy-4-methylcoumarin (I), 2.5, 100°, 2, the 8-SO3H (II) [S-benzylisothiuronium (III) derivative, m. 222-4°] and 8-SO2Cl (m. 178-80°) compds. (anilide, m. 238-40°); I, 15, 100°, 2,5-dihydroxy-6-carboxy-4-methyl-3,8-coumarindisulfonic acid (IV) (m. 202-3°; III derivative, m. 209-10°); I, 10, 130-40°, 6, a trisulfonic acid; 5-hydroxy-6-carboxy-4-methylcoumarin (V), 2.5, 100°, 2, IV; V, 10, 100°, 2, IV and the 8-SO2Cl compound (VI) [m. 218-20°; anilide, m. 260° (decomposition)]; V, 10, 140°, 6, a trisulfonic acid; 5-hydroxy-4-methylcoumarin (VII), 8, 100°, 2, the 6,8-di-SO3H compound (VIII) (III derivative, m. 177-9°); VII, 8, 140°, 6, the 3,6,8-tri-SO3H compound; 5-methoxy-4-methylcoumarin, 1, 60°, 1.5 (in dry CHCl3), a monosulfonic acid (III derivative, m. 116-18°); 5-hydroxy-4,7-dimethylcoumarin, excess ClSO3H, 100°, 2, the 6-SO3H (IX) (III derivative, m. 182°) and 6-SO2Cl (m. 164-6°) compds. (anilide, m. 201-3°); 5-methoxy-6-carboxy-4-methylcoumarin (m. 216-18°, prepared from its Me ester), 50-60°, demethylated sulfonation products. Hydrolysis of II (Na salt) and VI each gave the 8-SO3H compound of V [III derivative, m. 198-200° (decomposition)]. Oxidation
of II and IV with alkaline permanganate each gave 3,2,6-HO3S(HO)2C6H2CO2H (III
derivative, m. 142-4°). Nitration of IV gave 5-hydroxy-3,6,8-trinitrocoumarin, m. 208-9° (decomposition), as did also nitration of V and of VII. Nitration of VIII yielded 5-hydroxy-6,8,dinitro-4-methylcoumarin, m. 182-4°, and of IX, 5-hydroxy-3,6,8-trinitro-4,7-dimethylcoumarin, m. 216-18° (decomposition).
IT **101569-33-9**, Coumarin, 5-hydroxy-4,7-dimethyl-6-(phenylsulfamoyl)-
(preparation of)
RN 101569-33-9 CA
CN Coumarin, 5-hydroxy-4,7-dimethyl-6-(phenylsulfamoyl)- (6CI) (CA INDEX NAME)



L4 ANSWER 56 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 51:90691 CA
ORIGINAL REFERENCE NO.: 51:16448d-i,16449a
TITLE: Substitution in the benzopyrone series. II. Sulfonation of coumarin derivatives
AUTHOR(S): Merchant, J. R.; Shah, R. C.
CORPORATE SOURCE: Inst. Sci., Bombay
SOURCE: J. Indian Chem. Soc. (1957), 34, 35-41
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB ClSO3H (2 moles) added gradually with cooling to coumarin, and the mixture heated 2 hrs. at 100°, cooled, and poured over crushed ice gave a mixture of the 6-SO3H (I) and 6-SO2Cl (m. 119-20°) compds.; S-benzylisothiuronium (II) derivative of I, m. 212-14°. The following compds. were treated similarly, the figures after each referring to moles ClSO3H, temperature, and hrs. of heating, resp., and the products of sulfonation being given last: coumarin, 6, 130-40°, 3, the 3,6-di-SO3H (III) (II derivative, m. 194-6°) and 3,6-di-SO2Cl (m. 173-5°) compds. (amide, m. above 270°; anilide, m. 218-20°); 6-nitrocoumarin, 10, 130-40°, 4, the 3-SO3H (IV) (II derivative, m. 230-2°) and 3-SO2Cl (m. 204-5°) compds. (amide, m. above 290°; anilide, m. 130°); 7-hydroxy-4-methylcoumarin (V), 4, 100°, 2, the 6-SO3H (VI) (II derivative, m. 180-2°) and 6-SO2Cl (VII) (m. 178-80°) compds. (amide, m. above 290°; anilide, m. 245-7°); V, 4, 130-40°, 4, the 6,8-di-SO3H compound; V, 8, 140°, 4, the 3,6,8-tri-SO3H compound; 7-hydroxy-3,6-dibromo-4-methylcoumarin, 10, 100°, 2, the 8-SO3H compound (VIII) (II derivative, m. 205-6°); 7-hydroxy-3,8-dibromo-4-methylcoumarin, 7.5, 100°, 2, the 6-SO3H [II derivative, m. 238° (decomposition)] and 6-SO2Cl (IX) [m. 210° (decomposition)] compds. (anilide, m. 210-12°); 7-methoxy-4-methylcoumarin (X), 4.3, 100°, 2, the 6-SO3H (XI) [m. 175° (decomposition); II derivative, m. 250°] and 6-SO2Cl (XII) (m. 203-4°) compds. (amide, m. above 310°; anilide, m. 209-10°); X, 8, 60°, 3 (in dry CHCl3), the 3,6-di-SO3H (XIII) [II derivative, m. 244° (decomposition)] and 3,6-di-SO2Cl (m. 230-2°) compds. (anilide, m. 245-7°); X, excess ClSO3H, 130-40°, a demethylated trisulfonic acid; 7-methoxy-3-bromo-4-methylcoumarin, 4, 100°, 2, the 6-SO3H [II derivative, m. 280° (decomposition)] and 6-SO2Cl (XIV) (m. 227-9°) compds. (anilide, m. 236-8°); 7-hydroxy-6-carbomethoxy-4-methylcoumarin, 1, 60°, 2 (in dry CHCl3); 7-hydroxy-6-carboxy-4-methyl-8-coumarin sulfonic acid (XV) (II derivative, m. 209-11°) + unchanged substance; 7-hydroxy-6-carboxy-4-methylcoumarin, 4, 100°, 2, the 3,8-di-SO3H compound (XVI). The position of the SO3H group in I and III was proved by oxidation of the Na salt with alkaline permanganate to give, in each case, 5-sulfosalicylic acid (II derivative, m. 194-6°). The same treatment of IV gave 5-nitrosalicylic acid, m. 227-8°. Bromination of VI (Na salt) with 1 mole Br in HOAc gave the 3,6,8-tri-Br compound, m. 250-2°, as did also bromination of VIII. VII (1 g. in 10 cc. glacial HOAc) treated hot with 11 cc. 10% Br in HOAc and left 6 hrs. at room temperature gave IX. XII brominated likewise yielded XIV. The Na salt of XI heated 15 min. with 2 moles Br in HOAc and poured into H2O gave the 3,6-di-Br compound, m. 240° (from HOAc), as did XIII (di-Na salt) when treated with 3 moles Br. Oxidation of XI with alkaline permanganate gave 2,4,5-HO(MeO)(HO3S)C6H2 CO2H (XVII) [II deriv., m. 228-30° (decompn.)], which upon bromination of its Na salt yielded the 5-Br compd., m. 251-2°. The structure of 5,2,4-HO3S(HO)2C6H2CO2H (II deriv., m. 201-2°) obtained by Senhofer and Brunner [Chem. Zentr. 1, 566(1879)] by sulfonating β-resorcylic acid was confirmed by its methylation to XVII. Bromination of XV and XVI gave in each case the 3,8-di-Br compd., m. 284-6° (decompn.).

IT **109590-22-9**, Herniarin, 4-methyl-6-(phenylsulfamoyl)- (preparation of)
RN 109590-22-9 CA
CN Herniarin, 4-methyl-6-(phenylsulfamoyl)- (6CI) (CA INDEX NAME)

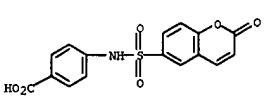


L4 ANSWER 57 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 40:20732 CA
ORIGINAL REFERENCE NO.: 40:4046d-g
TITLE: New derivatives of homophthalic acid
AUTHOR(S): Buu-Hoi
SOURCE: Compt. rend. (1944), 218, 942-3
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

AB cf. C.A. 40, 853.9. Esters of homophthalic acid (I) were prepared in the usual ways. α-Arylidenehomophthalic acids, o-HO2CC6H4C(:CHR)CO2H (II), were prepared from I and the appropriate aldehyde in the presence of EtONa. The II yielded anhydrides, CO.C6H4.C(:CHR).CO.O (III), by heating with AcCl. Reduction of II with 2.5% Na-Hg gave α-arylhomophthalic acids, o-HO2CC6H4CH(CH2R)CO2H (IV), which in turn yielded anhydrides, CO.C6H4.C(:CHR).CO.O (V). Di-Et ester of I, solid (previously reported as liquid), b12 160-2°; di-iso-Pr ester, m. 30°. II: R = o-MeOC6H4, m. 212°; 3,4-MeO(HO)C6H3, m. 184°; o-ClC6H4, m. 240° (decomposition); p-O2NC6H4, m. 239° (decomposition). III: R = o-MeOC6H4, yellow m. 171°; 3,4-(MeO)2C6H3, orange-red, m. 189°. IV: R = o-MeOC6H4 m. 168°; 3,4-(MeO)2C6H3, m. 153°; 3,4-CH2O2C6H3, m. 177°. V:R = 3,4-(MeO)2C6H3 m. 152°; p-MeOC6H4, m. 158-9°. Condensation of I with o-HOC6H4CHO did not lead to II, but gave 3-(2-carboxyphenyl)coumarin, m. 177°.

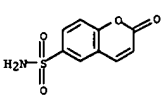
IT **113789-53-0**, Coumarin, 6-(p-carboxyphenylsulfamyl)- (preparation of)
RN 113789-53-0 CA
CN Benzoic acid, 4-[[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 58 OF 58 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 40:9973 CA
ORIGINAL REFERENCE NO.: 40:1804a-f
TITLE: Derivatives of sulfanilamide. IV
AUTHOR(S): Rubtsov, M. V.; Fedosova, V. M.
SOURCE: Zhurnal Obshchei Khimii (1944), 14, 857-64 CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 6-Methoxy-2-chloroquinoline (25 g.) in 50 g. PhOH was heated to 135° and treated with dry NH3, cooled, treated with Me2CO, filtered and treated with EtOH-HCl, and the separated HCl salt neutralized to yield 6-methoxy-2-phenoxyquinoline, b3 183°, m. 46°. 6-Methoxy-2-chloroquinoline (17 g.) and 40 g. AcNH2 were heated to 180° for 4 h. and 200° for 2 h. with treatment with gaseous NH3; no reaction occurred; after addition of 1.7 g. CuCl and continuation of the reaction for 12 h. at 200° there was obtained 6.7 g. 6-methoxy-2-aminoquinoline, m. 175° (from water); 4 g. of this and 5.4 g. p-AcNHC6H4SO2Cl (I) in pyridine gave after 3 h. at 90-100° 2-(p-acetamidophenylsulfonamido)-6-methoxyquinoline, m. 245-6° (from 50% AcOH), which was hydrolyzed by 10% NaOH to 2-sulfanilamido-6-methoxyquinoline, m. 214.5° (from 50% AcOH). 4-Amino-6-methoxyquinoline (4 g.) and 5.4 g. I gave, as above, 2.4 g. 4-(p-acetamidophenylsulfonamido)-6-methoxyquinoline, m. 292° (from water), which was hydrolyzed by 10% NaOH to 4-sulfanilamido-6-methoxyquinoline, m. 274° (from 50% AcOH). 6-Aminoquinoline (7.2 g.) and 11.7 g. I gave 6-(p-acetamidophenylsulfonamido)quinoline, m. 282°, which was hydrolyzed by 17% HCl to 6-sulfanilamidoquinoline, m. 209-10° (from 50% EtOH). Coumarin (10 g.), added with cooling to 40 g. ClSO3H, heated to 100° for 4 h., cooled, and poured on ice yielded 10 g. 6-coumarinsulfonyl chloride, m. 116° (from (CH2Cl)2); treatment with 15% NH4OH at 35° gave 6-sulfamylcoumarin, m. 185° (from water), while substitution of sulfanilamide for NH4OH gave N-(p-sulfamylphenyl)-6-coumarin sulfonamide, m. 219° (from 50% EtOH), and the use of p-H2NC6H4CO2H gave p-carboxy-6-coumarinsulfonanilide, m. 241° (from 65% AcOH). Coumarinsulfonyl chloride and p-AcNHC6H4NH2 gave p-acetamido-6-coumarinsulfonanilide, m. 280° (from 75% AcOH), which was hydrolyzed by 10% NaOH to p-amino-6-coumarinsulfonanilide, m. 209° (from 50% EtOH). 6-Aminocoumarin (2.9 g.) with 2.4 g. I in Me2CO gave 3.5 g. 6-(p-acetamidophenylsulfonamido)coumarin, m. 230° (from 75% AcOH), which was hydrolyzed with 10% NaOH to 6-sulfanilamidocoumarin, m. 191° (from 50% EtOH). Only the last compound showed promising activity against streptococci, pneumococci, and staphylococci.

IT **90322-59-1**, Coumarin, 6-sulfamyl- (preparation of)
RN 90322-59-1 CA
CN 2H-1-Benzopyran-6-sulfonamide, 2-oxo- (9CI) (CA INDEX NAME)



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L1             STRUCTURE UPLOADED
L2              29 S L1 SAM
L3             642 S L1 FULL

     FILE 'CA' ENTERED AT 14:00:51 ON 26 MAY 2005
L4              58 S L3

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---Logging off of STN---


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Executing the logoff script...


=> LOG Y

STN INTERNATIONAL LOGOFF AT 14:01:31 ON 26 MAY 2005
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